

TI Inhibition of Bcr-Abl phosphorylation and induction of apoptosis by pyrazolo[3,4-d]pyrimidines in human leukemia cells

AU Manetti, Fabrizio; Pucci, Annalisa; Magnani, Matteo; Locatelli, Giada A.; Brullo, Chiara; Naldini, Antonella; Schenone, Silvia; Maga, Giovanni; Carraro, Fabio; Botta, Maurizio

CS Dipartimento Farmaco Chimico Tecnologico, Universita degli Studi di Siena, Siena, 53100, Italy

SO ChemMedChem (2007), 2(3), 343-353

CODEN: CHEMGX; ISSN: 1860-7179

PB Wiley-VCH Verlag GmbH & Co. KGaA

DT Journal

LA English

AB A series of pyrazolo[3,4-d]pyrimidines, previously found to be Src inhibitors, was tested for their ability to inhibit proliferation of three Bcr-Abl-pos. human leukemia cell lines (K-562, KU-812, and MEG-01), on the basis of the exptl. evidence that various Src inhibitors are also active against Bcr-Abl kinase (the so called dual Src/Abl inhibitors). They reduce Bcr-Abl tyrosine phosphorylation and promote apoptosis of the Bcr-Abl-expressing cells. A cell-free enzymic assay on isolated c-Abl confirmed that such compds. directly inhibit Abl activity. Finally, mol. modeling simulations were also performed to hypothesize the binding mode of the compds. into the Abl binding site.

IT 691390-35-9P 805227-61-6P 805326-18-5P

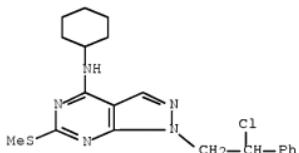
805326-23-2P 805326-26-5P

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibition of Bcr-Abl phosphorylation and induction of apoptosis by pyrazolo[3,4-d]pyrimidines in human leukemia cells)

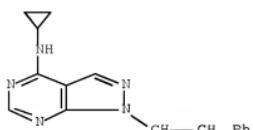
RN 691390-35-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclohexyl-6-(methylthio)- (CA INDEX NAME)



RN 805227-61-6 CAPLUS

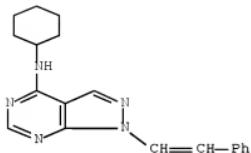
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopropyl-1-(2-phenylethynyl)- (CA INDEX NAME)



RN 805326-18-5 CAPLUS

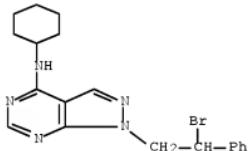
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1-(2-phenylethynyl)-

(CA INDEX NAME)



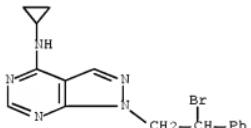
RN 805326-23-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-cyclohexyl- (CA INDEX NAME)



RN 805326-26-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-cyclopropyl- (CA INDEX NAME)



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Engineered protein kinases which can utilize modified nucleotide triphosphate substrates

IN Shokat, Kevan

PA Princeton University, USA

SO U.S., 54 pp., Cont.-in-part of U.S. Ser. No. 797,522.

CODEN: USXXAM

DT Patent

LA English

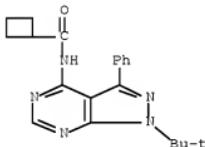
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 7026461	B1	20060411	US 2001-985061	20011101
	WO 9835048	A2	19980813	WO 1998-US2522	19980209
	WO 9835048	A3	19990107		
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 1607481	A1	20051221	EP 2004-76255	19980209
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2004248675	A	20040909	JP 2004-87151	20040324
	US 2006263800	A1	20061123	US 2006-358947	20060222
PRAI	US 1997-797522	B2	19970207		
	US 1997-46727P	P	19970516		
	WO 1998-US2522	W	19980209		
	US 1999-367065	A3	19991117		
	EP 1998-906268	A3	19980209		
	JP 1998-534999	A3	19980209		
	US 2001-985061	A3	20011101		

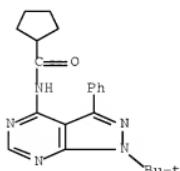
AB The present invention involves the engineering of kinases and other multi-substrate enzymes such that they can become bound by inhibitors which are not as readily bound by their wild-type forms. In a first aspect, the present invention involves the engineering of kinases and other multi-substrate enzymes such that they can utilize modified substrates which are not as readily used by their wildtype forms. The invention further provides such chemical modified nucleotide triphosphate substrates, methods of making them, and methods of using them. The methods of the present invention include methods for using the modified substrates along with the engineered kinases to identify which protein substrates the kinases act upon, to measure the extent of such action, and to determine if test compds. can modulate such action. An engineered kinase made according to the present invention will be able to use an orthogonal nucleotide triphosphate substrate that is not as readily used by other, non-engineered kinases present in cells. By labeling the phosphate on the orthogonal substrate, e.g., by using radioactive phosphorous (p32), and then adding that labeled substrate to permeabilized cells or cell exts., the protein substrates of the engineered kinase will become labeled, whereas the protein substrates of other kinases will be at least labeled to a lesser degree; preferably, the protein substrates of the other kinases will not be substantially labeled, and most preferably, they will not be labeled at all. The detailed description and examples provided below describe the use of this strategy to uniquely tag the direct substrates of the prototypical tyrosine kinase, v-Src. Through protein engineering a chemical difference has been made in the amino acid sequence which imparts a new structural distinction

between the nucleotide binding site of the modified v-Src and that of all other kinases. The v-Src kinase the inventors have engineered recognizes an ATP analog (A\*TP), N6-(cyclopentyl)ATP, which is orthogonal to the nucleotide substrate of wild-type kinases. The generation of a v-Src mutant with specificity for an orthogonal A\*TP substrate allows for the direct substrates of v-Src to be uniquely radiolabeled using ( $\gamma$ -32P) N6-(cyclopentyl)ATP, because it is able to serve as substrate to the engineered v-Src kinase, but is not substantially able to serve as substrate for other cellular kinases. The detailed description and examples provided below describe the use of this strategy to uniquely identify the direct substrates of the prototypical tyrosine kinase, v-Src. The engineered v-Src kinases that have been made and presented herein bind to an orthogonal analog of the more general kinase inhibitor PP3: the compound N04 cyclopentyl PP3. The generation of a v-Src mutant with specificity for such an inhibitor allows for the mutant to be inhibited, whereas other kinases in the same test system are not substantially inhibited, not even the wildtype form of that same kinase.

IT 206991-88-0P, 4-Cyclobutylamido-1-tert-butyl-3-phenylpyrazolo[3,4-d]pyrimidine 206991-89-1P, 4-Cyclopentylamido-1-tert-butyl-3-phenylpyrazolo[3,4-d]pyrimidine 206991-90-4P, 4-Cyclohexylamido-1-tert-butyl-3-phenylpyrazolo[3,4-d]pyrimidine 206991-92-2P, 4-Cyclopentylmethylamino-1-tert-butyl-3-phenylpyrazolo[3,4-d]pyrimidine  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (engineered protein kinases which can utilize modified nucleotide triphosphate substrates)  
 RN 206991-88-0 CAPLUS  
 CN Cyclobutanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)

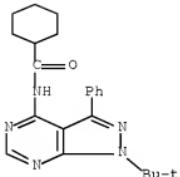


RN 206991-89-1 CAPLUS  
 CN Cyclopentanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



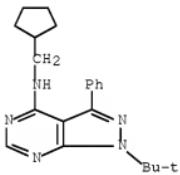
RN 206991-90-4 CAPLUS

CN Cyclohexanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



RN 206991-95-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-phenyl- (CA INDEX NAME)



RE.CNT 46

THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI 1,3-Disubstituted heteroaryl derivatives as NMDA/NR2B antagonists, their preparation, pharmaceutical compositions, and use in therapy

IN Layton, Mark E.; Rodzinak, Kevin J.; Kelly, Michael J., III; Sanderson, Philip E.

PA Merck &amp; Co., Inc., USA

SO PCT Int. Appl., 116 pp.

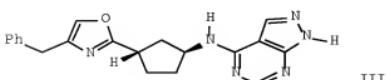
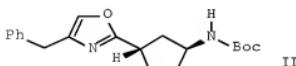
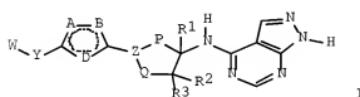
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006017409	A2	20060216	WO 2005-US27160	20050729
WO 2006017409	A3	20061130		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, KB, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005271669	A1	20060216	AU 2005-271669	20050729
CA 2575430	A1	20060216	CA 2005-2575430	20050729
EP 1797094	A2	20070620	EP 2005-777474	20050729
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 1993363	A	20070704	CN 2005-80026250	20050729
IN 2007CN00537	A	20070824	IN 2007-CN537	20070207
PRAI US 2004-598521P	P	20040803		
WO 2005-US27160	W	20050729		
OS MARPAT 144:212785				
GI				



AB The invention relates to 1,3-disubstituted heteroaryl compds. I, which are antagonists of the NR2B subunit of N-methyl-D-aspartate (NMDA) receptors. In compds. I, W is (un)substituted aryl or (un)substituted heteroaryl; Y is a bond, (un)substituted C1-3 alkylene, cyclopropyl, or carbonyl; P and Q are (un)substituted methylene; Z is N or (un)substituted C; A, B, and D are independently selected from O, S, (un)substituted methine, and (un)substituted N; R1 is selected from H and (un)substituted C1-4 alkyl; and R2 and R3 are independently selected from H, halo, OH, cyano, (un)substituted C1-4 alkyl, C1-4 alkoxy, and (un)substituted amino; including pharmaceutically acceptable salts and individual enantiomers and stereoisomers thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of I and an inert carrier, as well as to the use of the compns. for the treatment of neurol. conditions such as, pain, Parkinson's disease, Alzheimer's disease, epilepsy, depression, anxiety, ischemic brain injury including stroke, and other conditions. Amidation of (1S,3S)-N-Boc-3- aminocyclopentanecarboxylic acid and heterocyclization with 1-chloro-3-phenylacetone gave oxazole II, which underwent deprotection, substitution of 4-chloro-1-(tetrahydropyran-2-yl)-1H-pyrazolo[3,4-d]pyrimidine and deprotection to give oxazole III. The compds. of the invention express IC50 and Ki values of less than 50  $\mu$ M in functional and binding assays, resp.

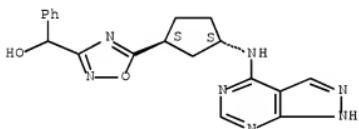
IT 875898-61-6P 875898-67-2P 875898-73-0P  
 875898-75-2P 875898-79-6P 875898-92-3P  
 975898-98-9P 875899-05-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of disubstituted heteroaryl derivs. as NMDA/NR2B antagonists useful for treating neurol. conditions)

RN 875898-61-6 CAPLUS

CN 1,2,4-Oxadiazole-3-methanol,  $\alpha$ -phenyl-5-[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]- (CA INDEX NAME)

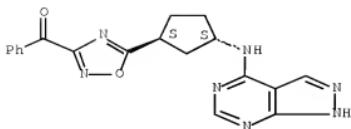
Absolute stereochemistry.



RN 875898-67-2 CAPLUS

CN Methanone, phenyl[5-[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]-1,2,4-oxadiazol-3-yl]- (CA INDEX NAME)

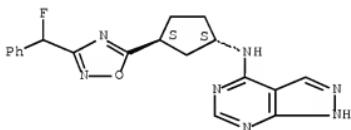
Absolute stereochemistry.



RN 875898-73-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(3-(fluorophenylmethyl)-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

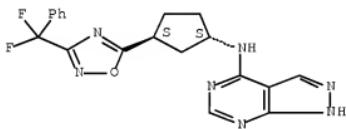
Absolute stereochemistry.



RN 875898-75-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(3-(difluorophenylmethyl)-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

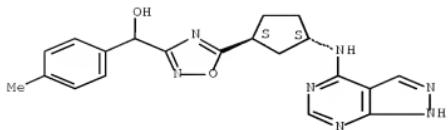
Absolute stereochemistry.



RN 875898-79-6 CAPLUS

CN 1,2,4-Oxadiazole-3-methanol,  $\alpha$ -(4-methylphenyl)-5-[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]- (CA INDEX NAME)

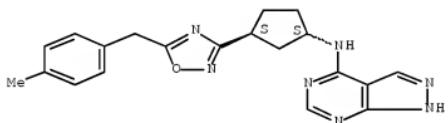
Absolute stereochemistry.



RN 875898-92-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-[(4-methylphenyl)methyl]-1,2,4-oxadiazol-3-yl]cyclopentyl]- (CA INDEX NAME)

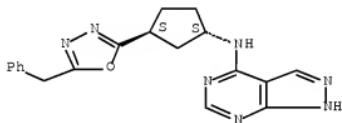
Absolute stereochemistry.



RN 875898-98-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-[(phenylmethyl)-1,3,4-oxadiazol-2-yl]cyclopentyl]- (CA INDEX NAME)

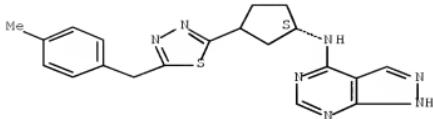
Absolute stereochemistry.



RN 875899-05-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S)-3-[5-[(4-methylphenyl)methyl]-1,3,4-thiadiazol-2-yl]cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 875898-02-5P 875898-09-2P 875898-10-5P  
 875898-14-9P 875898-16-1P 875898-20-7P  
 875898-24-1P 875898-27-4P 875898-28-5P  
 875898-29-6P 875898-30-9P 875898-31-0P  
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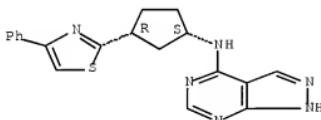
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of disubstituted heteroaryl derivs. as NMDA/NR2B antagonists useful for treating neurol. conditions)

RN 875898-02-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-(4-phenyl-2-thiazolyl)cyclopentyl]- (CA INDEX NAME)

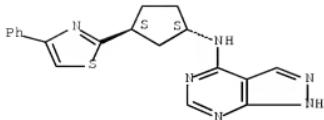
Absolute stereochemistry.



RN 875898-09-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(4-phenyl-2-thiazolyl)cyclopentyl]- (CA INDEX NAME)

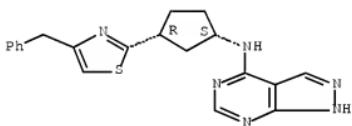
Absolute stereochemistry.



RN 875898-10-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-{4-(phenylmethyl)-2-thiazolyl}cyclopentyl]- (CA INDEX NAME)

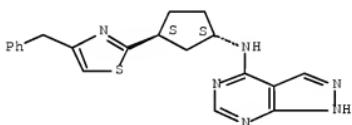
Absolute stereochemistry.



RN 875898-14-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-{4-(phenylmethyl)-2-thiazolyl}cyclopentyl]- (CA INDEX NAME)

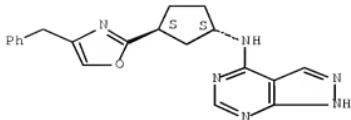
Absolute stereochemistry.



RN 875898-16-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-{4-(phenylmethyl)-2-oxazolyl}cyclopentyl]- (CA INDEX NAME)

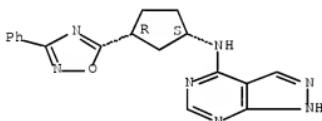
Absolute stereochemistry.



RN 875898-20-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-(3-phenyl-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

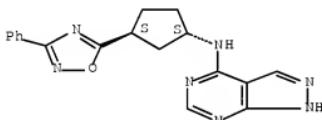
Absolute stereochemistry.



RN 875898-24-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(3-phenyl-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

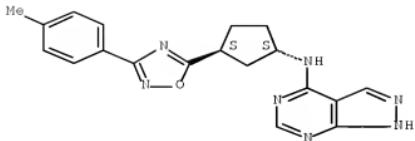
Absolute stereochemistry.



RN 875898-27-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(4-methylphenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

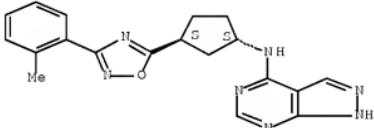
Absolute stereochemistry.



RN 875898-28-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(2-methylphenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

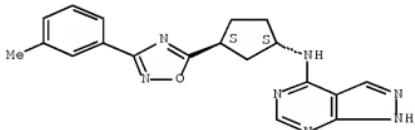
Absolute stereochemistry.



RN 875898-29-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(3-methylphenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

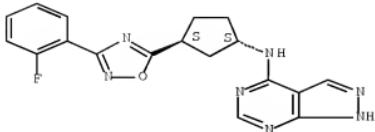
Absolute stereochemistry.



RN 875898-30-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(2-fluorophenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

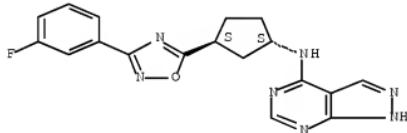
Absolute stereochemistry.



RN 875898-31-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-{3-(3-fluorophenyl)-1,2,4-oxadiazol-5-yl}cyclopentyl]- (CA INDEX NAME)

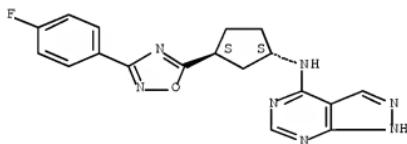
Absolute stereochemistry.



RN 875898-32-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-{3-(4-fluorophenyl)-1,2,4-oxadiazol-5-yl}cyclopentyl]- (CA INDEX NAME)

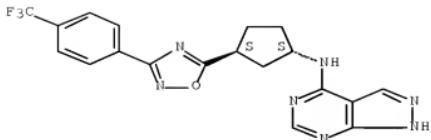
Absolute stereochemistry.



RN 875898-33-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-{3-[4-(trifluoromethyl)phenyl]-1,2,4-oxadiazol-5-yl}cyclopentyl]- (CA INDEX NAME)

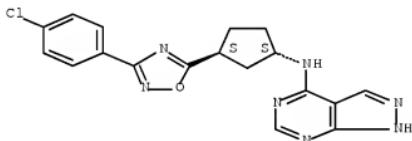
Absolute stereochemistry.



RN 875898-34-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(4-chlorophenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

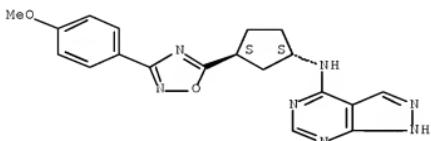
Absolute stereochemistry.



RN 875898-35-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(4-methoxyphenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

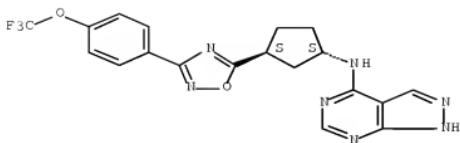
Absolute stereochemistry.



RN 875898-36-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(4-trifluoromethoxyphenyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

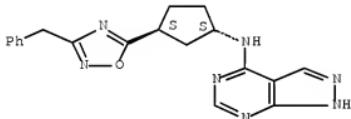
Absolute stereochemistry.



RN 875898-37-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-(3-(phenylmethyl)-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

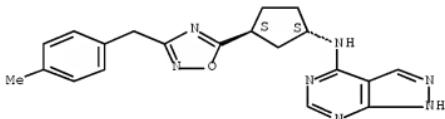
Absolute stereochemistry.



RN 875898-41-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-((4-methylphenyl)methyl)-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

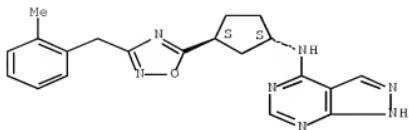
Absolute stereochemistry.



RN 875898-45-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-((2-methylphenyl)methyl)-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

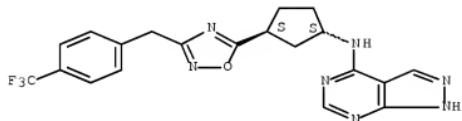
Absolute stereochemistry.



RN 875898-46-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(4-trifluoromethyl)phenyl]methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

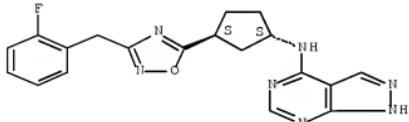
Absolute stereochemistry.



RN 875898-47-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(2-fluorophenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

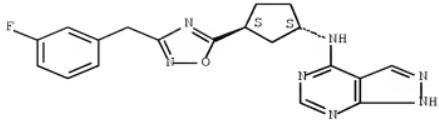
Absolute stereochemistry.



RN 875898-48-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[(3-fluorophenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

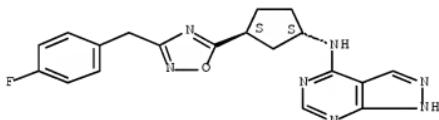
Absolute stereochemistry.



RN 875898-49-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-{3-[(4-fluorophenyl)methyl]-1,2,4-oxadiazol-5-yl}cyclopentyl]- (CA INDEX NAME)

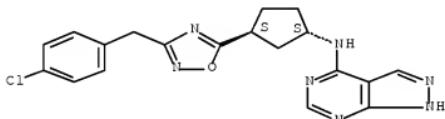
Absolute stereochemistry.



RN 875898-50-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-{3-[(4-chlorophenyl)methyl]-1,2,4-oxadiazol-5-yl}cyclopentyl]- (CA INDEX NAME)

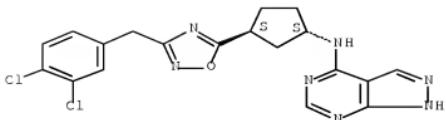
Absolute stereochemistry.



RN 875898-51-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-{3-[(3,4-dichlorophenyl)methyl]-1,2,4-oxadiazol-5-yl}cyclopentyl]- (CA INDEX NAME)

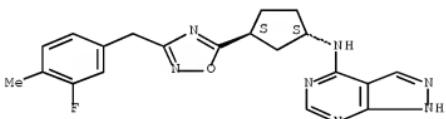
Absolute stereochemistry.



RN 875898-52-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-((3-fluoro-4-methoxyphenyl)methyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

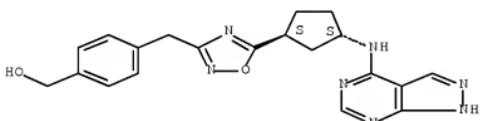
Absolute stereochemistry.



RN 875898-53-6 CAPLUS

CN Benzenemethanol, 4-[[5-[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]-1,2,4-oxadiazol-3-yl]methyl]- (CA INDEX NAME)

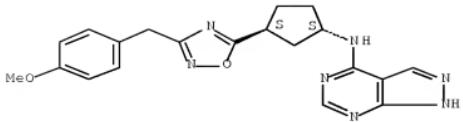
Absolute stereochemistry.



RN 875898-54-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-((4-methoxyphenyl)methyl)-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

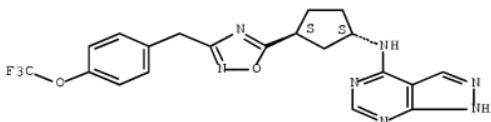
Absolute stereochemistry.



RN 875898-55-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-{3-[(4-(trifluoromethoxy)phenyl)methyl]-1,2,4-oxadiazol-5-yl}cyclopentyl]- (CA INDEX NAME)

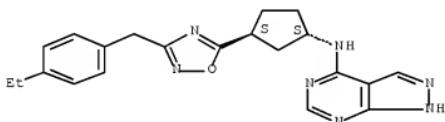
Absolute stereochemistry.



RN 875898-56-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-{3-[(4-ethylphenyl)methyl]-1,2,4-oxadiazol-5-yl}cyclopentyl]- (CA INDEX NAME)

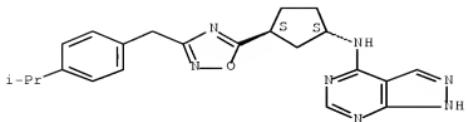
Absolute stereochemistry.



RN 875898-57-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-{3-[(4-(1-methylethyl)phenyl)methyl]-1,2,4-oxadiazol-5-yl}cyclopentyl]- (CA INDEX NAME)

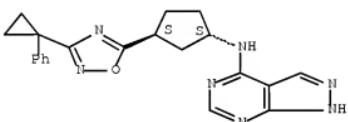
Absolute stereochemistry.



RN 875898-58-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(3-(1-phenylcyclopropyl)-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

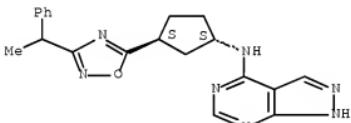
Absolute stereochemistry.



RN 875898-59-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(3-(1-phenylethyl)-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

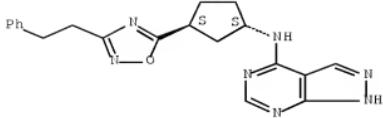
Absolute stereochemistry.



RN 875898-60-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(3-(2-phenylethyl)-1,2,4-oxadiazol-5-yl)cyclopentyl]- (CA INDEX NAME)

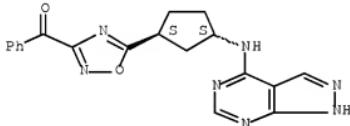
Absolute stereochemistry.



RN 875898-72-9 CAPLUS

CN Methanone, phenyl[5-((1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl)-1,2,4-oxadiazol-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

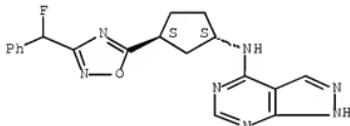


● HCl

RN 875898-74-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(3-(fluorophenylmethyl)-1,2,4-oxadiazol-5-yl)cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

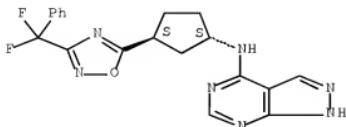


● HCl

RN 875898-78-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(3-(difluorophenylmethyl)-1,2,4-oxadiazol-5-yl)cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

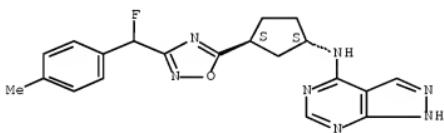


● HCl

RN 875898-83-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[fluoro(4-methylphenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

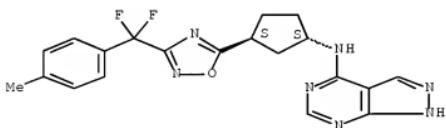
Absolute stereochemistry.



RN 875898-84-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[3-[difluoro(4-methylphenyl)methyl]-1,2,4-oxadiazol-5-yl]cyclopentyl]- (CA INDEX NAME)

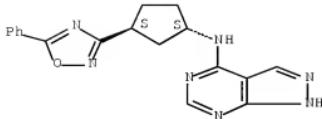
Absolute stereochemistry.



RN 875898-88-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(5-phenyl-1,2,4-oxadiazol-3-yl)cyclopentyl]- (CA INDEX NAME)

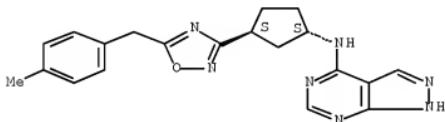
Absolute stereochemistry.



RN 875898-95-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-[(4-methylphenyl)methyl]-1,2,4-oxadiazol-3-yl]cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

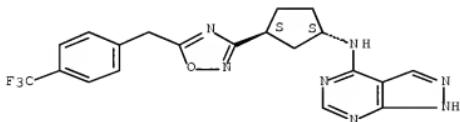


● HCl

RN 875898-96-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-[(4-(trifluoromethyl)phenyl)methyl]-1,2,4-oxadiazol-3-yl]cyclopentyl]- (CA INDEX NAME)

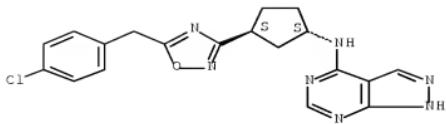
Absolute stereochemistry.



RN 875898-97-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[5-[(4-chlorophenyl)methyl]-1,2,4-oxadiazol-3-yl]cyclopentyl]- (CA INDEX NAME)

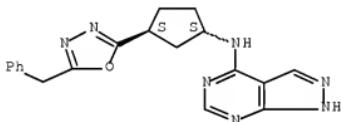
Absolute stereochemistry.



RN 875899-02-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[(5-(phenylmethyl)-1,3,4-oxadiazol-2-yl)cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

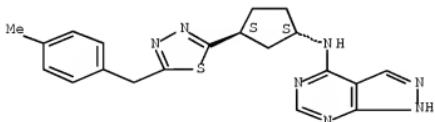


● HCl

RN 875899-06-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[(5-[(4-methylphenyl)methyl]-1,3,4-thiadiazol-2-yl)cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

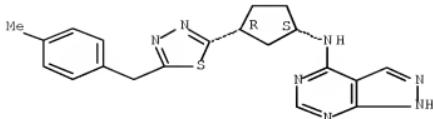


● HCl

RN 875899-07-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-[(5-[(4-methylphenyl)methyl]-1,3,4-thiadiazol-2-yl)cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

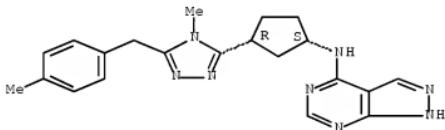


● HCl

RN 875899-08-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-[4-methyl-5-[(4-methylphenyl)methyl]-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)

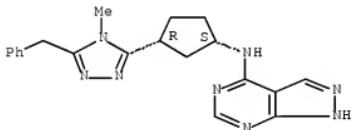
Absolute stereochemistry.



RN 875899-13-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-[4-methyl-5-(phenylmethyl)-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)

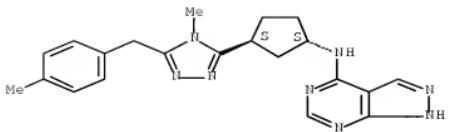
Absolute stereochemistry.



RN 875899-14-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[4-methyl-5-[(4-methylphenyl)methyl]-4H-1,2,4-triazol-3-yl]cyclopentyl]- (CA INDEX NAME)

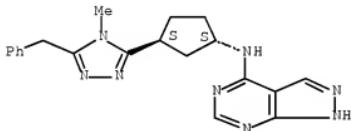
Absolute stereochemistry.



RN 875899-19-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(4-methyl-5-(phenylmethyl)-4H-1,2,4-triazol-3-yl)cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L10 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:123963 CAPLUS Full-text

DN 144:370033

TI Pyrazolo[3,4-d]pyrimidines as Potent Antiproliferative and Proapoptotic Agents toward A431 and 8701-BC Cells in Culture via Inhibition of c-Src Phosphorylation

AU Carraro, Fabio; Naldini, Antonella; Pucci, Annalisa; Locatelli, Giada A.; Maga, Giovanni; Schenone, Silvia; Bruno, Olga; Ranise, Angelo; Bondavalli, Francesco; Brullo, Chiara; Fossa, Paola; Menozzi, Giulia; Mosti, Luisa; Modugno, Michele; Tintori, Cristina; Manetti, Fabrizio; Botta, Maurizio

CS Dipartimento di Fisiologia, Sezione di Neuroimmunofisiologia, Universita degli Studi di Siena, Siena, I-53100, Italy

SO Journal of Medicinal Chemistry (2006), 49(5), 1549-1561

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PB American Chemical Society

DT Journal

LA English

OS CASREACT 144:370033

AB The synthesis of new pyrazolo[3,4-d]pyrimidine derivs. along with their biol. properties as inhibitors of isolated Src and cell line proliferation (A431 and 8701-BC cells) is reported. Such compds. block the growth of cancer cells by interfering with the phosphorylation of Src, and they act as proapoptotic agents through the inhibition of the antiapoptotic gene BCL2. Several of them were found to be more active than the reference compound, 1-tert-butyl-3-(4-chlorophenyl)-4-aminopyrazolo[3,4-d]pyrimidine (PP2), in inhibiting cell proliferation and in inducing apoptosis, and as active as PP2 in the inhibition of the phosphorylation of isolated Src. Moreover, mol. modeling simulations have been performed to hypothesize the way, at the mol. level, by which the inhibitors were able to act as antiproliferative agents.

IT 691390-35-9P 805227-61-6P 805326-18-5P

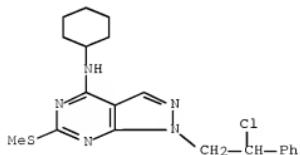
805326-23-2P 805336-26-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrazolo[3,4-d]pyrimidines as potent antiproliferative and proapoptotic agents toward A431 and 8701-BC cells in culture via inhibition of c-Src phosphorylation)

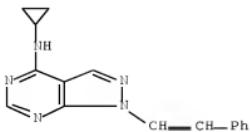
RN 691390-35-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclohexyl-6-(methylthio)- (CA INDEX NAME)

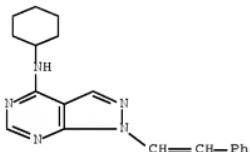


RN 805227-61-6 CAPLUS

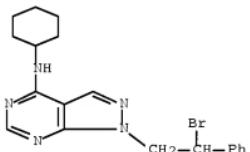
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopropyl-1-(2-phenylethenyl)- (CA INDEX NAME)



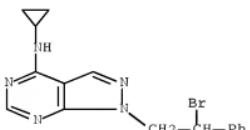
RN 805326-18-5 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1-(2-phenylethényl)-  
(CA INDEX NAME)



RN 805326-23-2 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-  
cyclohexyl- (CA INDEX NAME)



RN 805326-26-5 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-  
cyclopropyl- (CA INDEX NAME)



RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:983769 CAPLUS Full-text

DN 143:286445

TI Preparation of fused pyrazolo pyrimidine and pyrazolo pyrimidinone derivatives as p38 kinase inhibitors

IN Arora, Nidhi; Billedeau, Roland Joseph; Dewdney, Nolan James; Gabriel, Tobias; Goldstein, David Michael; O'Yang, Counde; Soth, Michael

PA USA

SO U.S. Pat. Appl. Publ., 90 pp.

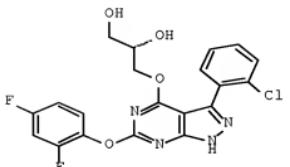
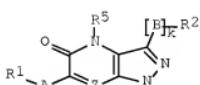
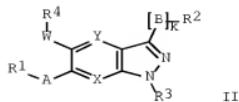
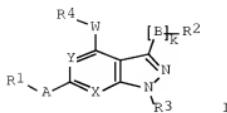
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005197340	A1	20050908	US 2005-67336	20050225
AU 2005219525	A1	20050915	AU 2005-219525	20050224
CA 2557575	A1	20050915	CA 2005-2557575	20050224
WO 2005085249	A1	20050915	WO 2005-EP1936	20050224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1737865	A1	20070103	EP 2005-707608	20050224
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 1926139	A	20070307	CN 2005-80006344	20050224
BR 2005008036	A	20070717	BR 2005-8036	20050224
JP 2007523938	T	20070823	JP 2007-500149	20050224
MX 2006PA09465	A	20061107	MX 2006-PA9465	20060818
IN 2006CN03107	A	20070608	IN 2006-CN3107	20060825
NO 2006004015	A	20061123	NO 2006-4015	20060906
PRAI US 2004-548583P	P	20040227		
WO 2005-EP1936	W	20050224		
OS MARPAT 143:286445				
GI				



AB The title compds. I-III [R1 = (hetero)aryl, aralkyl, cycloalkyl; R2 = (hetero)aryl, cycloalkyl, alkyl, heterocyclyl; R3 = H, alkyl; R4 = H, alkyl, OH, etc.; R5 = H, alkyl, heteroalkyl, etc.; X, Y = N, or one of X and Y = N and the other = CR6 (R6 = H, alkyl, OH, etc.); Z = N, CR6; W = O, SOM, CH2, (un)substituted NH; m = 0-2; A = O, CH2, SOM, C(O), etc.; B = O, SOM, C(O), etc.; k = 0-1], useful in treating p38 mediated disorders, were prepared and formulated. E.g., a multi-step synthesis of (S)-IV, starting from 4,6-dichloro-2-(methylthio)pyrimidine and 2-chlorobenzaldehyde, was given. The compds. I were found to be inhibitors of p38 MAP kinase. IV showed a p38 IC50 of 0.004  $\mu$ M.

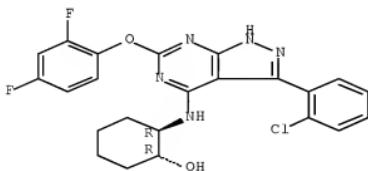
IT 864299-29-6P 864299-30-9P 864299-37-6P  
964299-44-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of pyrazolopyrimidine and pyrazolopyrimidinone derivs. as p38 kinase inhibitors)

RN 864299-29-6 CAPLUS

CN Cyclohexanol, 2-[(3-(2-chlorophenyl)-6-(2,4-difluorophenoxy)-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-, (1R,2R)- (CA INDEX NAME)

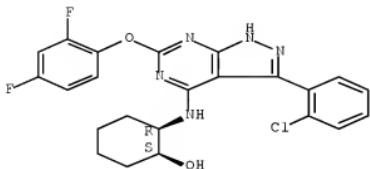
Absolute stereochemistry.



RN 864299-30-9 CAPLUS

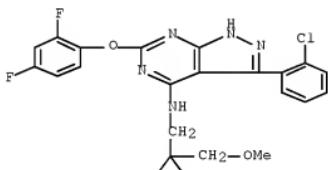
CN Cyclohexanol, 2-[(3-(2-chlorophenyl)-6-(2,4-difluorophenoxy)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]-, (1S,2R)- (CA INDEX NAME)

Absolute stereochemistry.



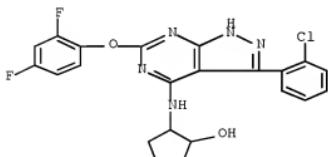
RN 864299-37-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 3-(2-chlorophenyl)-6-(2,4-difluorophenoxy)-N-[(1-(methoxymethyl)cyclopropyl)methyl]- (CA INDEX NAME)



RN 864299-44-5 CAPLUS

CN Cyclopentanol, 2-[(3-(2-chlorophenyl)-6-(2,4-difluorophenoxy)-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]- (CA INDEX NAME)



TI Therapeutic combinations of atypical antipsychotics with corticotropin releasing factor antagonists

IN Romano, Steven Joseph

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005079807	A1	20050901	WO 2005-IB251	20050201
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2005215257	A1	20050901	AU 2005-215257	20050201
	CA 2556160	A1	20050901	CA 2005-2556160	20050201
	EP 1718311	A1	20061108	EP 2005-702400	20050201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
	CN 1917882	A	20070221	CN 2005-80004943	20050201
	BR 2005007609	A	20070703	BR 2005-7609	20050201
	JP 2007522200	T	20070809	JP 2006-552710	20050201
	US 2005209250	A1	20050922	US 2005-58329	20050214
	IN 2006DN04617	A	20070810	IN 2006-DN4617	20060810
	MX 2006PA09271	A	20061207	MX 2006-PA9271	20060814
	NO 2006004054	A	20061110	NO 2006-4054	20060908
PRAI	US 2004-544731P	P	20040213		
	WO 2005-IB251	W	20050201		

OS MARPAT 143:254020

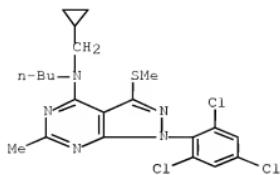
AB The present invention is directed to a pharmaceutical compns. for treating, for example, mood disorders or conditions, psychotic disorders or conditions, or a combination thereof, in a mammal such as a human, the composition comprising (a) an atypical antipsychotic, a prodrug thereof or a pharmaceutically acceptable salt of the atypical antipsychotic or prodrug thereof, (b) a corticotropin releasing factor antagonist, a prodrug thereof, or pharmaceutically acceptable salt of said corticotropin releasing factor antagonist or prodrug thereof, and optionally (c) a pharmaceutically acceptable vehicle, carrier or diluent. A pharmaceutical composition is prepared containing zippersidone with a corticotropin releasing factor antagonist such a 4-(1-ethylproppoxy)-3,6-dimethyl-2-(2,4,6-trimethylphenoxy)pyridine.

IT 174569-94-9

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(therapeutic combinations of atypical antipsychotics with corticotropin releasing factor antagonists)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)-(CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



847414-91-3P 847414-92-0P 847414-93-1P  
847414-94-2P 847414-95-3P 847414-96-4P  
847414-97-5P 847415-00-3P 847415-01-4P  
847415-02-5P 847415-03-1P 847415-13-8P  
847415-14-9P 847415-15-0P 847415-20-7P  
847415-24-1P 847415-25-2P 847415-46-7P  
847415-47-3P 847415-48-9P 847415-49-0P  
847415-99-3P 847415-99-1P 847415-92-3P  
847415-93-4P 847416-03-9P 847416-04-0P  
847448-56-2P

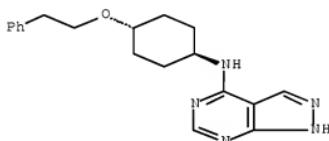
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

NMDA (claimed compound; preparation of cycloalkylaminopyrazolopyrimidines as NR2B antagonists)

RN 847414-87-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

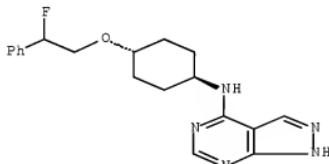
Relative stereochemistry.



RN 847414-88-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-fluoro-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

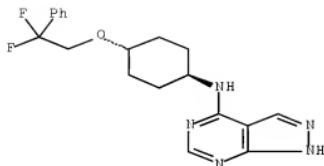
Relative stereochemistry.



RN 847414-89-5 CAPLUS

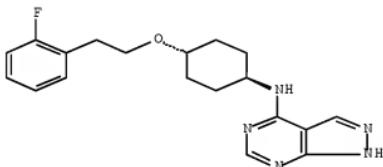
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2,2-difluoro-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



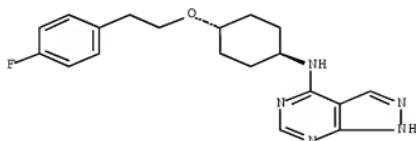
RN 847414-91-9 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(2-fluorophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



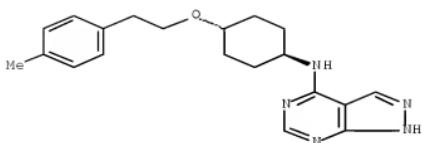
RN 847414-92-0 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(4-fluorophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



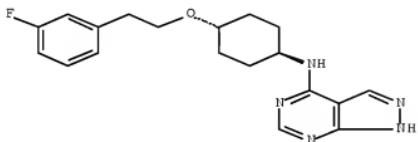
RN 847414-93-1 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(4-methylphenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



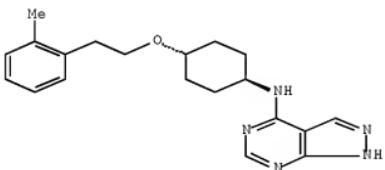
RN 847414-94-2 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(3-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



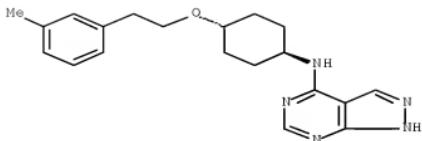
RN 847414-95-3 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 847414-96-4 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(3-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

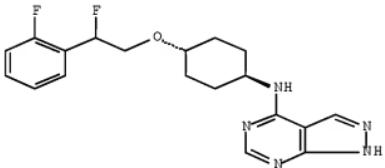
Relative stereochemistry.



RN 847414-97-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-fluoro-2-(2-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

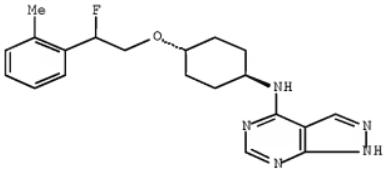
Relative stereochemistry.



RN 847415-00-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-fluoro-2-(2-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

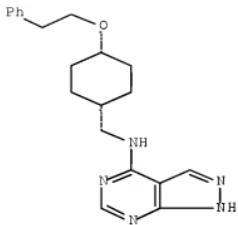
Relative stereochemistry.



RN 847415-01-4 CAPLUS

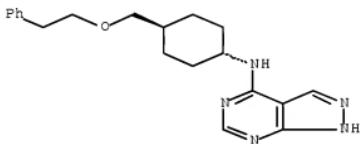
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[[(cis-4-(2-phenylethoxy)cyclohexyl)methyl]- (CA INDEX NAME)

Relative stereochemistry.



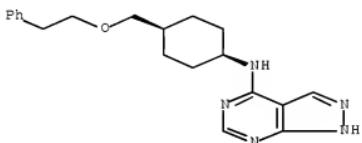
RN 847415-02-5 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-phenylethoxy)methyl]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



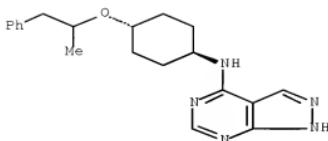
RN 847415-08-1 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-[(2-phenylethoxy)methyl]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 847415-13-8 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(1-methyl-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

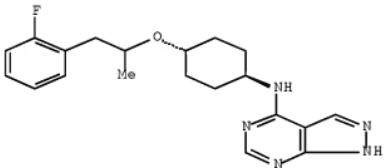
Relative stereochemistry.



RN 847415-14-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-(2-fluorophenyl)-1-methylethoxy)cyclohexyl]- (CA INDEX NAME)

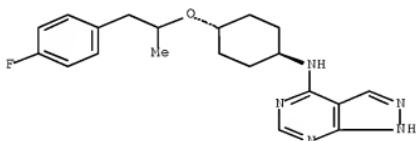
Relative stereochemistry.



RN 847415-15-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-(4-fluorophenyl)-1-methylethoxy)cyclohexyl]- (CA INDEX NAME)

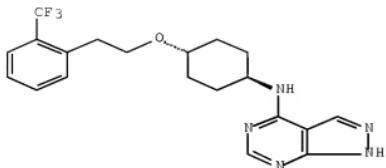
Relative stereochemistry.



RN 847415-20-7 CAPLUS

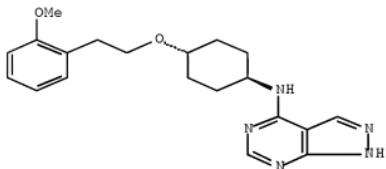
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-[(trifluoromethyl)phenyl]ethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



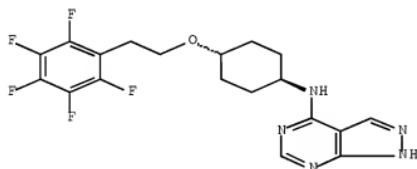
RN 847415-24-1 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(2-methoxyphenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



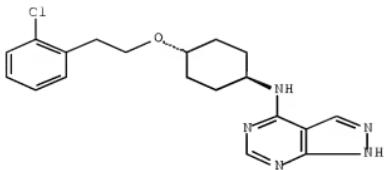
RN 847415-25-2 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(pentafluorophenyl)ethoxy)cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 847415-46-7 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(2-chlorophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

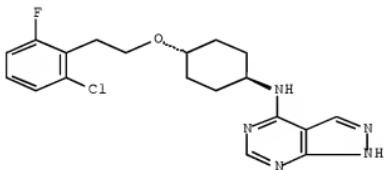
Relative stereochemistry.



RN 847415-47-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(2-chloro-6-fluorophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

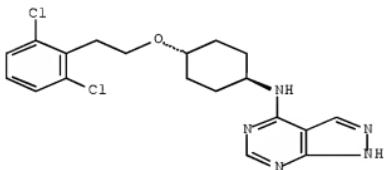
Relative stereochemistry.



RN 847415-48-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(2,6-dichlorophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

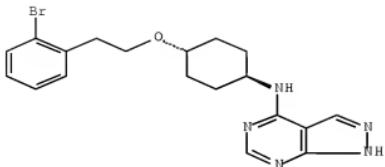
Relative stereochemistry.



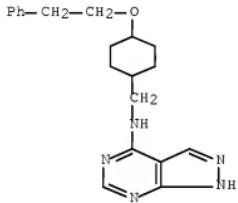
RN 847415-49-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(2-bromophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

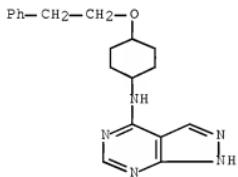
Relative stereochemistry.



RN 847415-89-8 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[4-(2-phenylethoxy)cyclohexyl]methyl- (CA INDEX NAME)

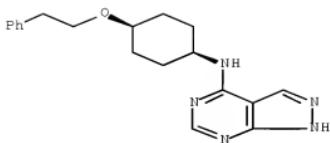


RN 847415-90-1 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)



RN 847415-92-3 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

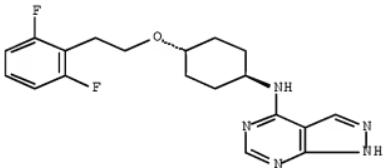
Relative stereochemistry.



RN 847415-93-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2R,6R)-2-(2,6-difluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

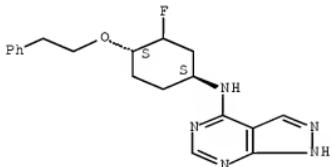
Relative stereochemistry.



RN 847416-03-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]-, rel- (CA INDEX NAME)

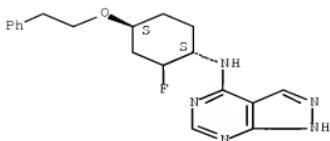
Relative stereochemistry.



RN 847416-04-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-2-fluoro-4-(2-phenylethoxy)cyclohexyl]-, rel- (CA INDEX NAME)

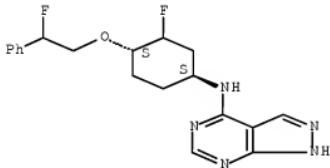
Relative stereochemistry.



RN 847448-58-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-3-fluoro-4-(2-fluoro-2-phenylethoxy)cyclohexyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



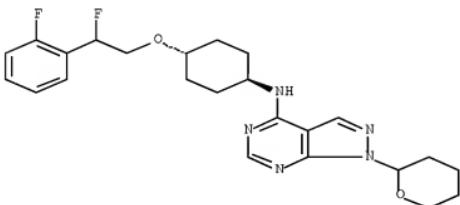
IT 947416-39-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of cycloalkylaminopyrazolopyrimidines as NMDA NR2B antagonists)

RN 847416-39-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]-1-(tetrahydro-2H-pyran-2-yl)- (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Preparation of 4-cycloalkylaminopyrazolopyrimidines as nmda/nr2b antagonists

IN Thompson, Wayne; Young, Steven D.; Phillips, Brian T.; Munson, Peter; Whitter, Willie; Liverton, Nigel; Dieckhaus, Christine; Butcher, John; McCauley, James A.; McIntyre, Charles J.; Layton, Mark E.; Sanderson, Philip E.

PA Merck &amp; Co., Inc., USA

SO PCT Int. Appl., 155 PP.

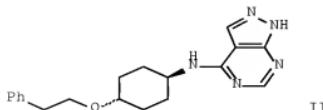
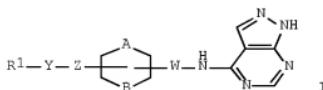
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005019221	A1	20050303	WO 2004-US25961	20040811
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004266227	A1	20050303	AU 2004-266227	20040811
CA	2535347	A1	20050303	CA 2004-2535347	20040811
EP	1656379	A1	20060517	EP 2004-780746	20040811
EP	1656379	B1	20070110		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN	1835953	A	20060920	CN 2004-80023311	20040811
JP	2007502772	T	20070215	JP 2006-523318	20040811
ES	2279446	T3	20070816	ES 2004-4780746	20040811
US	2005054658	A1	20050310	US 2004-917194	20040812
IN	2006DN00649	A	20070831	IN 2006-DN649	20060207
US	2007037829	A1	20070215	US 2006-568470	20060214
PRAI	US 2003-495650P	P	20030815		
	WO 2004-US25961	W	20040811		
OS	MARPAT	142:280222			
GI					



AB Title compds. I [R1 = (un)substituted Ph or diphenylmethyl; Y = carbocyclyl or cyclopropylmethyl linker; Z = absent or O, alkyl, alkenyl, S, SO, etc.; A and B independently = (un)substituted alkyl, where optionally A and B may connect to bridge ring; W = absent or O, alkyl, alkenyl, CO, SO2, etc.; the pyrazolo[3,4-d]pyrimidine ring may optionally be substituted], and their pharmaceutically acceptable salts thereof, are prepared and disclosed as NMDA/NR2B antagonists. Thus, e.g., II, was prepared by substitution of 4-chloro-1H-pyrazolo[3,4-d]pyrimidine with trans-4-phenylethoxy cyclohexylamine (preparation given). I exhibit IC50 and Ki values of less than 50  $\mu$ M in the functional and binding assay, resp. Are effective as NMDA/NR2B antagonists useful for treating neurol. conditions such as, for example, pain, Parkinson's disease, Alzheimer's disease, epilepsy, depression, anxiety, ischemic brain injury including stroke, and other conditions.

IT 847414-97-5P 847415-03-6P 847415-41-2P

847415-50-3P 847415-67-2P 847415-70-7P

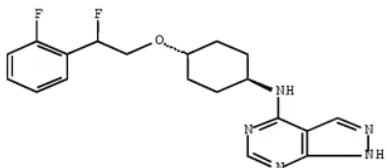
847415-73-0P

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(preparation of 4-cycloalkylaminopyrazolopyrimidines as NMDA/NR2B antagonists)

RN 847414-97-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

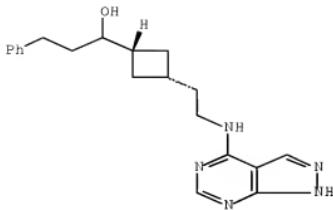
Relative stereochemistry.



RN 847415-03-6 CAPLUS

CN Benzenepropanol,  $\alpha$ -[cis-3-[2-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)ethyl]cyclobutyl]- (CA INDEX NAME)

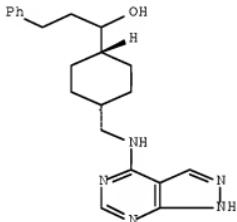
Relative stereochemistry.



RN 847415-41-2 CAPLUS

CN Benzenepropanol,  $\alpha$ -[cis-4-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]cyclohexyl]- (CA INDEX NAME)

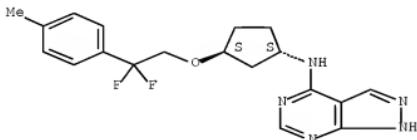
Relative stereochemistry.



RN 847415-50-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]cyclopentyl]-, rel- (CA INDEX NAME)

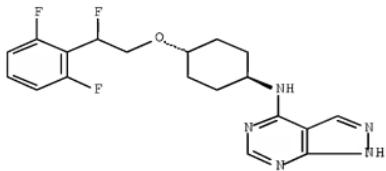
Relative stereochemistry.



RN 847415-67-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-(2,6-difluorophenyl)-2-fluoroethoxy)cyclohexyl]- (CA INDEX NAME)

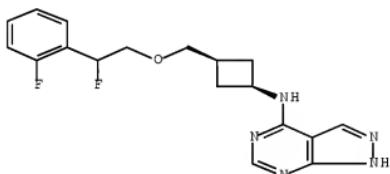
Relative stereochemistry.



RN 847415-70-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-3-[(2-fluoro-2-(2-fluorophenyl)ethoxy)methyl]cyclobutyl]- (CA INDEX NAME)

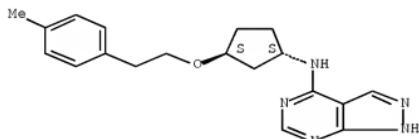
Relative stereochemistry.



RN 847415-73-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[(2-(4-methylphenyl)ethoxy)cyclopentyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 847414-98-6P 847414-99-7P 847415-42-3P

847415-43-4P 847415-51-4P 847415-52-5P

847415-53-6P 847415-68-3P 847415-69-4P

847415-71-8P 847415-72-9P 847415-74-1P

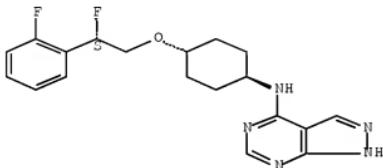
847415-75-2P 847415-88-7P 847416-61-9P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 4-cycloalkylaminopyrazolopyrimidines as NMDA/NR2B antagonists)

RN 847414-98-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2S)-2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

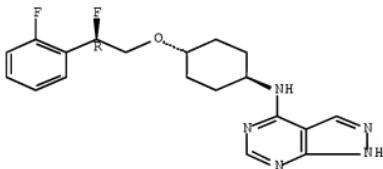
Absolute stereochemistry.



RN 847414-99-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2R)-2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

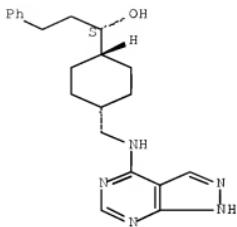
Absolute stereochemistry.



RN 847415-42-3 CAPLUS

CN Benzenepropanol,  $\alpha$ -[cis-4-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]cyclohexyl]-, ( $\alpha$ S)- (CA INDEX NAME)

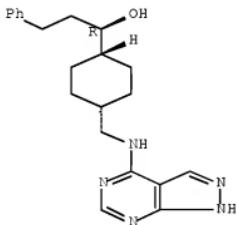
Absolute stereochemistry. Rotation (-).



BN 847415-43-4 CAPLUS

CN Benzenepropanol,  $\alpha$ -[*cis*-4-[(1*H*-pyrazolo[3,4-*d*]pyrimidin-4-ylamino)methyl]cyclohexyl]-, (*αR*)- (CA INDEX NAME)

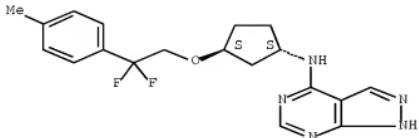
### Absolute stereochemistry.



BN 847415-51-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

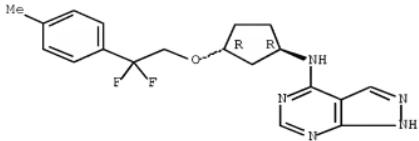


RN 847415-52-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2,2-difluoro-2-(4-

methylphenyl)ethoxy]cyclopentyl]- (CA INDEX NAME)

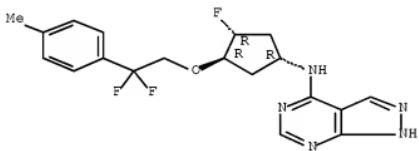
Absolute stereochemistry. Rotation (-).



RN 847415-53-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[1(1R,3R,4R)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]-4-fluorocyclopentyl]- (CA INDEX NAME)

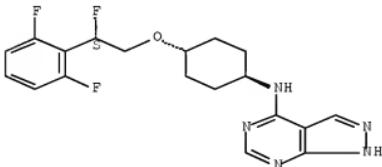
Absolute stereochemistry.



RN 847415-68-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2S)-2-(2,6-difluorophenyl)-2-fluoroethoxy]cyclohexyl]- (CA INDEX NAME)

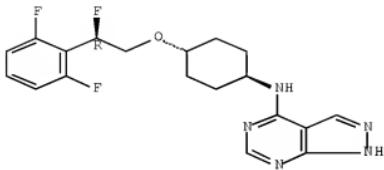
Absolute stereochemistry. Rotation (+).



RN 847415-69-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2R)-2-(2,6-difluorophenyl)-2-fluoroethoxy]cyclohexyl]- (CA INDEX NAME)

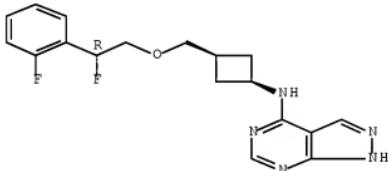
Absolute stereochemistry. Rotation (-).



RN 847415-71-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-3-[(2R)-2-fluoro-2-(2-fluorophenyl)ethoxy]methyl]cyclobutyl]- (CA INDEX NAME)

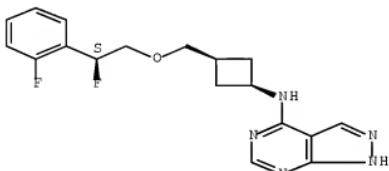
Absolute stereochemistry. Rotation (-).



RN 847415-72-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-3-[(2S)-2-fluoro-2-(2-fluorophenyl)ethoxy]methyl]cyclobutyl]- (CA INDEX NAME)

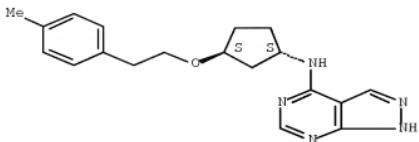
Absolute stereochemistry. Rotation (+).



RN 847415-74-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-{2-(4-methylphenyl)ethoxy}cyclopentyl]-, rel-(+)- (CA INDEX NAME)

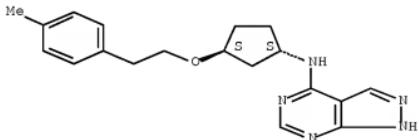
Rotation (+). Absolute stereochemistry unknown.



RN 847415-75-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(4-methylphenyl)ethoxy]cyclopentyl]-, rel-(-)- (9CI) (CA INDEX NAME)

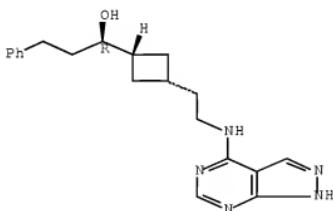
Rotation (-). Absolute stereochemistry unknown.



RN 847415-88-7 CAPLUS

CN Benzenepropanol,  $\alpha$ -[cis-3-[2-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)ethyl]cyclobutyl]-, ( $\alpha$ R)- (CA INDEX NAME)

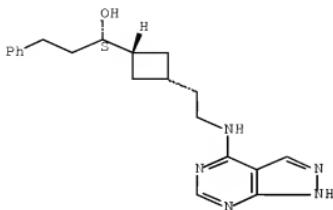
Absolute stereochemistry.



RN 847416-61-9 CAPLUS

CN Benzenepropanol,  $\alpha$ -[cis-3-[2-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)ethyl]cyclobutyl]-, ( $\alpha$ S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 847415-11-6F 847415-76-3P 847415-77-4P  
947415-94-3P

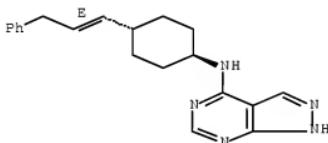
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of 4-cycloalkylaminopyrazolopyrimidines as NMDA/NR2B antagonists)

RN 847415-11-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(1E)-3-phenyl-1-propenyl]cyclohexyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

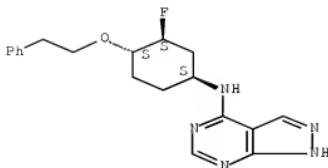
Double bond geometry as shown.



RN 847415-76-3 CAPLUS

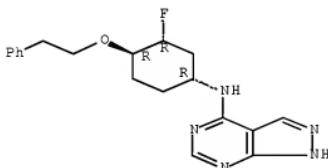
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S,4S)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



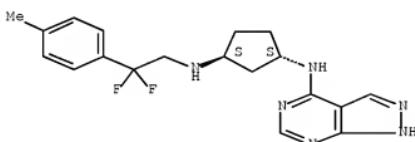
RN 847415-77-4 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847415-84-3 CAPLUS  
 CN 1,3-Cyclopentanediamine, N-[2,2-difluoro-2-(4-methylphenyl)ethyl]-N'-1H-pyrazolo[3,4-d]pyrimidin-4-yl-, (1S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 847414-67-3P 847414-99-4P 847414-89-5P  
 847414-90-8P 847414-91-9P 847414-92-0P  
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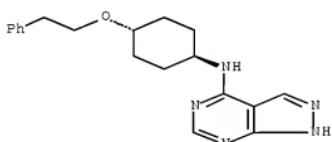
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-cycloalkylaminopyrazolopyrimidines as NMDA/NR2B antagonists)

RN 847414-87-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

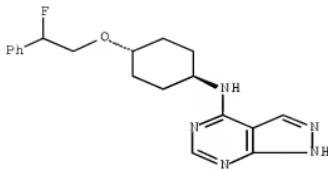
Relative stereochemistry.



RN 847414-88-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-fluoro-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

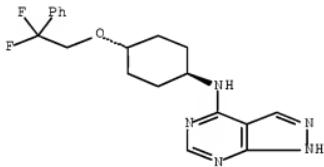
Relative stereochemistry.



RN 847414-89-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2,2-difluoro-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

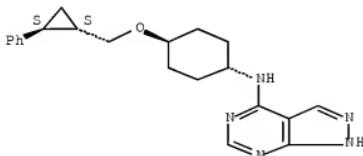
Relative stereochemistry.



RN 847414-90-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(1S,2S)-2-phenylcyclopropyl]methoxy]cyclohexyl- (CA INDEX NAME)

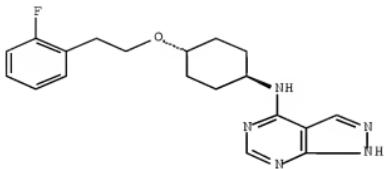
Absolute stereochemistry.



RN 847414-91-9 CAPLUS

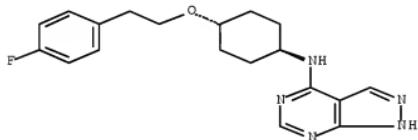
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-fluorophenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



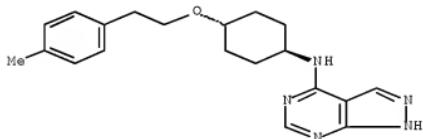
RN 847414-92-0 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(4-fluorophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



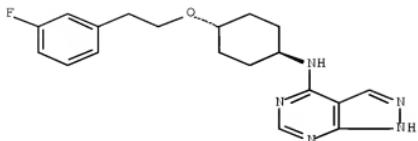
RN 847414-93-1 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(4-methylphenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



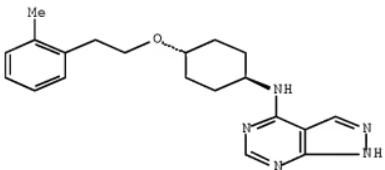
RN 847414-94-2 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(3-fluorophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



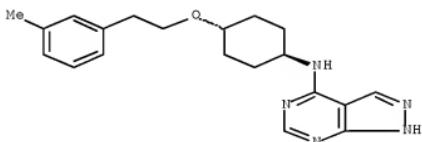
RN 847414-95-3 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



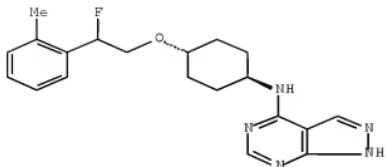
RN 847414-96-4 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(3-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



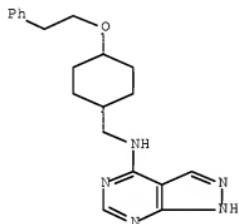
RN 847415-00-3 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-fluoro-2-(2-methylphenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



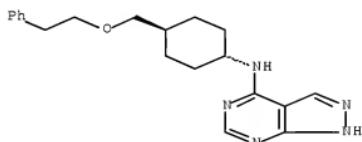
RN 847415-01-4 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(cis-4-(2-phenylethoxy)cyclohexyl)methyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 847415-02-5 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(trans-4-[(2-phenylethoxy)methyl]cyclohexyl)methyl]- (CA INDEX NAME)

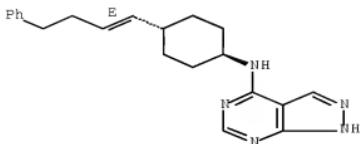
Relative stereochemistry.



RN 847415-04-7 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(trans-4-[(1E)-4-phenyl-1-but enenyl]cyclohexyl)methyl]- (9CI) (CA INDEX NAME)

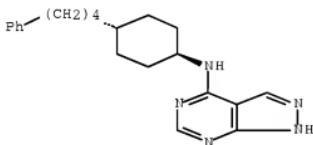
Relative stereochemistry.

Double bond geometry as shown.



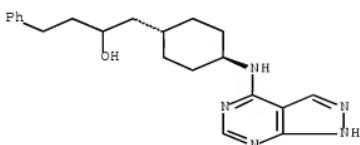
RN 847415-05-8 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(4-phenylbutyl)cyclohexyl]-  
(CA INDEX NAME)

Relative stereochemistry.



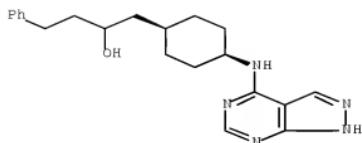
RN 847415-06-9 CAPLUS  
CN Benzenepropanol,  $\alpha$ -[[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]methyl]- (CA INDEX NAME)

Relative stereochemistry.



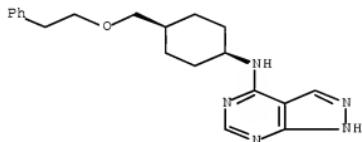
RN 847415-07-0 CAPLUS  
CN Benzenepropanol,  $\alpha$ -[[cis-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]methyl]- (CA INDEX NAME)

Relative stereochemistry.



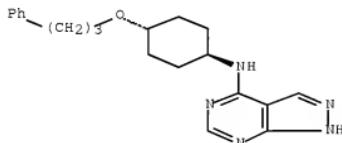
RN 847415-08-1 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-((2-phenylethoxy)methyl)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



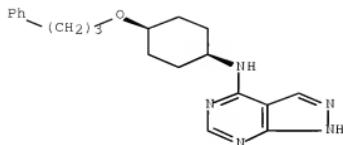
RN 847415-09-2 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(3-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



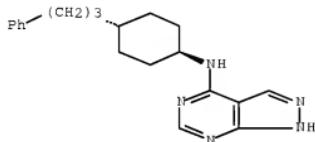
RN 847415-10-5 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-(3-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



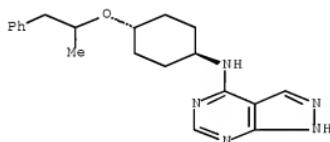
RN 847415-12-7 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(3-phenylpropyl)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



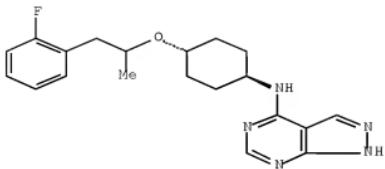
RN 847415-13-8 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(1-methyl-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 847415-14-9 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-fluorophenyl)-1-methylethoxy)cyclohexyl]- (CA INDEX NAME)

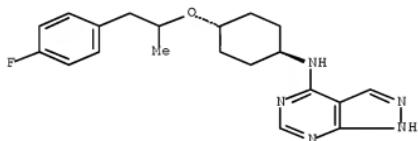
Relative stereochemistry.



RN 847415-15-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(4-fluorophenyl)-1-methylethoxy)cyclohexyl]- (CA INDEX NAME)

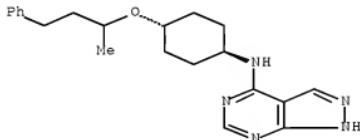
Relative stereochemistry.



RN 847415-16-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(1-methyl-3-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)

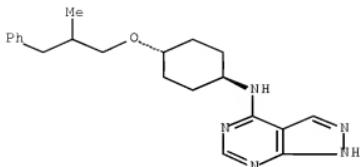
Relative stereochemistry.



RN 847415-17-2 CAPLUS

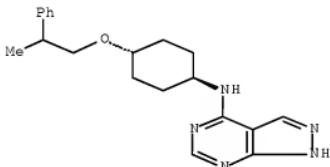
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-methyl-3-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



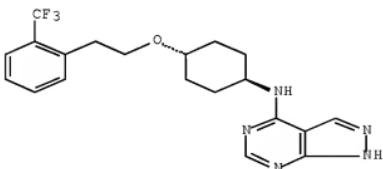
RN 847415-18-3 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



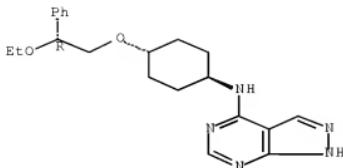
RN 847415-20-7 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[2-(2-(trifluoromethyl)phenyl)ethoxy]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 847415-21-8 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2R)-2-ethoxy-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

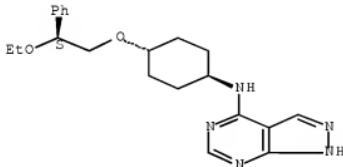
Absolute stereochemistry.



RN 847415-22-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2S)-2-ethoxy-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

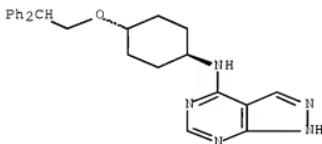
Absolute stereochemistry.



RN 847415-23-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2,2-diphenylethoxy)cyclohexyl]- (CA INDEX NAME)

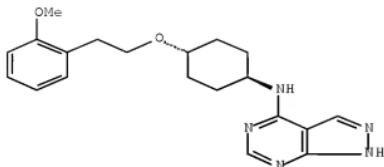
Relative stereochemistry.



RN 847415-24-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-(2-methoxyphenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

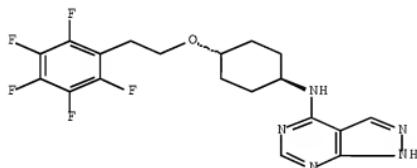
Relative stereochemistry.



RN 847415-25-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(pentafluorophenyl)ethoxy)cyclohexyl]- (9CI) (CA INDEX NAME)

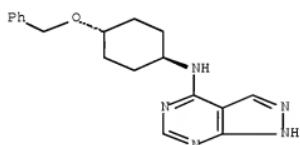
Relative stereochemistry.



RN 847415-26-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(phenylmethoxy)cyclohexyl]- (CA INDEX NAME)

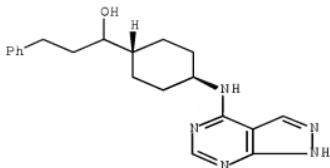
Relative stereochemistry.



RN 847415-27-4 CAPLUS

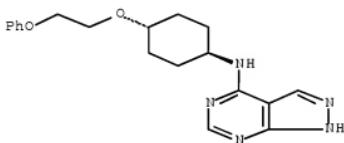
CN Benzenepropanol,  $\alpha$ -[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



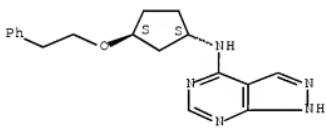
RN 847415-28-5 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-phenoxyethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



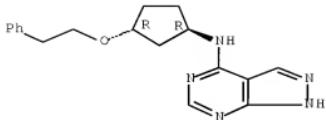
RN 847415-29-6 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



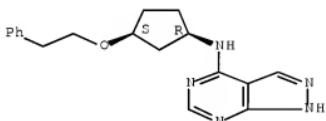
RN 847415-30-9 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-(2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847415-31-0 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3S)-3-(2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



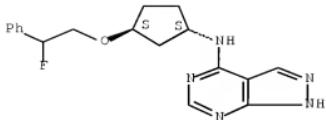
RN 847415-32-1 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-(2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



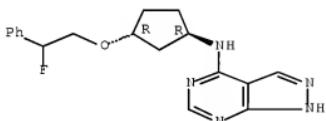
RN 847415-33-2 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(2-fluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



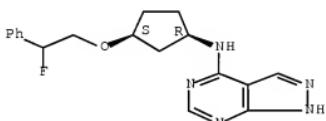
RN 847415-34-3 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-(2-fluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



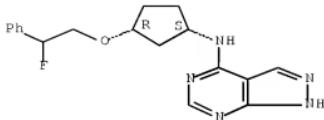
RN 847415-35-4 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3S)-3-(2-fluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847415-36-5 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-(2-fluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

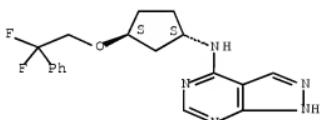
Absolute stereochemistry.



RN 847415-37-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-(2,2-difluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

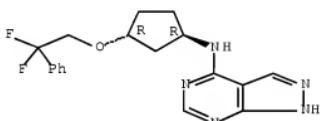
Absolute stereochemistry.



RN 847415-38-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-(2,2-difluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

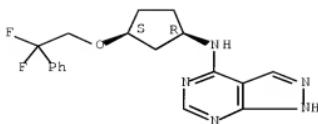
Absolute stereochemistry.



RN 847415-39-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3S)-3-(2,2-difluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

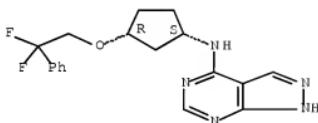
Absolute stereochemistry.



RN 847415-40-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3R)-3-(2,2-difluoro-2-phenylethoxy)cyclopentyl]- (CA INDEX NAME)

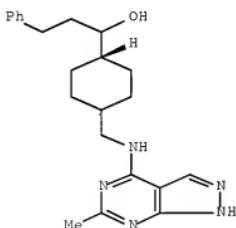
Absolute stereochemistry.



RN 847415-44-5 CAPLUS

CN Benzenepropanol,  $\alpha$ -[cis-4-[(6-methyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]methyl]cyclohexyl- (CA INDEX NAME)

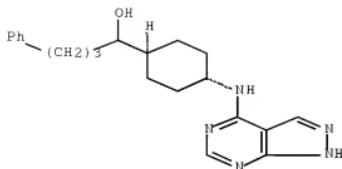
Relative stereochemistry.



RN 847415-45-6 CAPLUS

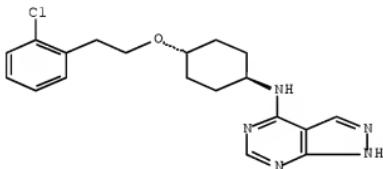
CN Benzenebutanol,  $\alpha$ -[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



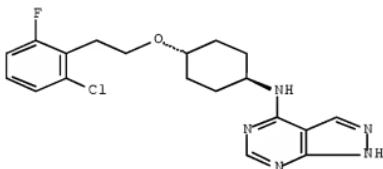
RN 847415-46-7 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(2-chlorophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



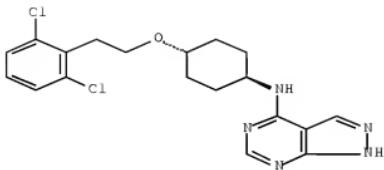
RN 847415-47-8 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(2-chloro-6-fluorophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



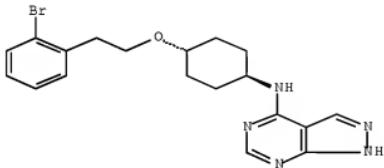
RN 847415-48-9 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(2,6-dichlorophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



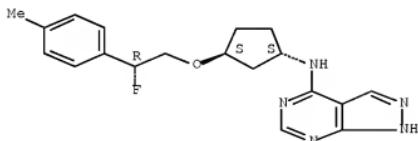
RN 847415-49-0 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-(2-bromophenyl)ethoxy)cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



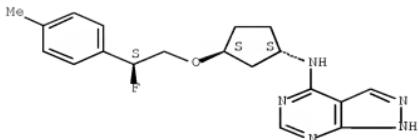
RN 847415-54-7 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[(2R)-2-fluoro-2-(4-methylphenyl)ethoxy]cyclopentyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847415-55-8 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[(2S)-2-fluoro-2-(4-methylphenyl)ethoxy]cyclopentyl]- (CA INDEX NAME)

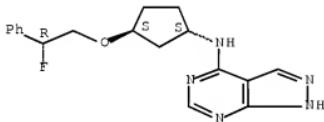
Absolute stereochemistry.



RN 847415-56-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[(2R)-2-fluoro-2-phenylethoxy]cyclopentyl]- (CA INDEX NAME)

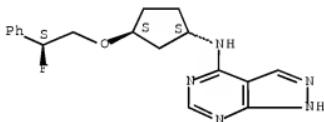
Absolute stereochemistry.



RN 847415-57-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S)-3-[(2S)-2-fluoro-2-phenylethoxy]cyclopentyl]- (CA INDEX NAME)

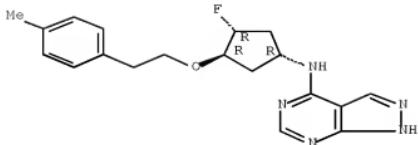
Absolute stereochemistry.



RN 847415-58-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-3-fluoro-4-[(4-methylphenyl)ethoxy]cyclopentyl]- (CA INDEX NAME)

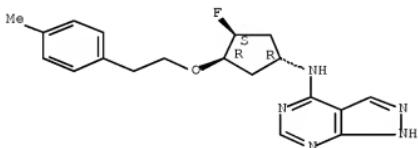
Absolute stereochemistry.



RN 847415-59-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3S,4R)-3-fluoro-4-(2-(4-methylphenyl)ethoxy)cyclopentyl]- (CA INDEX NAME)

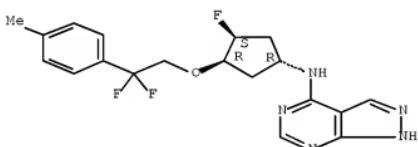
Absolute stereochemistry.



RN 847415-60-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4S)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]-4-fluorocyclopentyl]- (CA INDEX NAME)

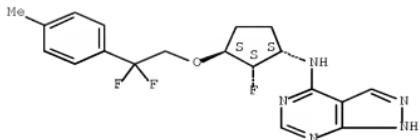
Absolute stereochemistry.



RN 847415-61-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,2S,3S)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]-2-fluorocyclopentyl]- (CA INDEX NAME)

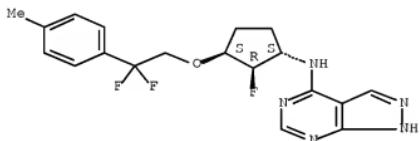
Absolute stereochemistry.



RN 847415-62-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,2R,3S)-3-[(2,2-difluoro-2-(4-methylphenyl)ethoxy]-2-fluorocyclopentyl]- (CA INDEX NAME)

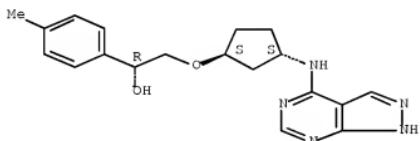
Absolute stereochemistry.



RN 847415-63-8 CAPLUS

CN Benzenemethanol, 4-methyl- $\alpha$ -[[[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]oxy]methyl]-, ( $\alpha$ R)- (CA INDEX NAME)

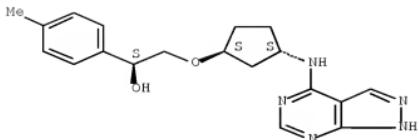
Absolute stereochemistry.



RN 847415-64-9 CAPLUS

CN Benzenemethanol, 4-methyl- $\alpha$ -[[[(1S,3S)-3-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclopentyl]oxy]methyl]-, ( $\alpha$ S)- (CA INDEX NAME)

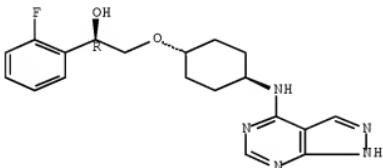
Absolute stereochemistry.



RN 847415-65-0 CAPLUS

CN Benzenemethanol, 2-fluoro- $\alpha$ -[[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]oxy]methyl-, (aR)- (CA INDEX NAME)

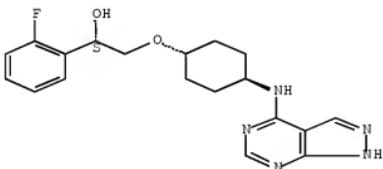
Absolute stereochemistry.



RN 847415-66-1 CAPLUS

CN Benzenemethanol, 2-fluoro- $\alpha$ -[[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]oxy]methyl-, (aS)- (CA INDEX NAME)

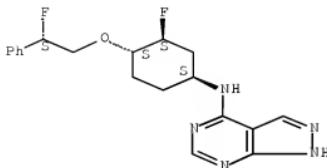
Absolute stereochemistry.



RN 847415-78-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S,4S)-3-fluoro-4-[(2S)-2-fluoro-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

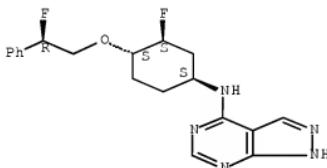
Absolute stereochemistry.



RN 847415-79-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S,4S)-3-fluoro-4-((2R)-2-fluoro-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

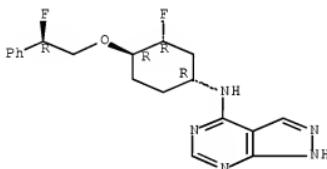
Absolute stereochemistry.



RN 847415-80-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-3-fluoro-4-((2R)-2-fluoro-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

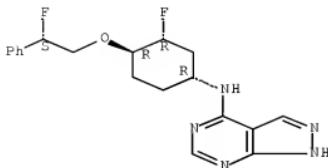
Absolute stereochemistry.



RN 847415-81-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-3-fluoro-4-((2S)-2-fluoro-2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

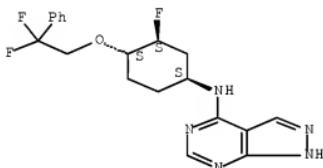
Absolute stereochemistry.



RN 847415-82-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S,4S)-4-(2,2-difluoro-2-phenylethoxy)-3-fluorocyclohexyl]- (CA INDEX NAME)

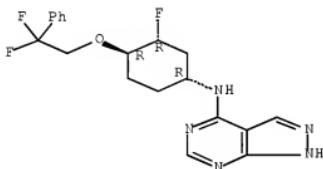
Absolute stereochemistry.



RN 847415-83-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-4-(2,2-difluoro-2-phenylethoxy)-3-fluorocyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.

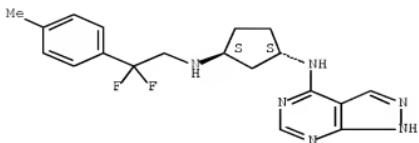


RN 847415-85-4 CAPLUS

CN 1,3-Cyclopentanediamine, N-[2,2-difluoro-2-(4-methylphenyl)ethyl]-N'-1H-pyrazolo[3,4-d]pyrimidin-4-yl-, (1S,3S)-, trifluoroacetate (9CI) (CA INDEX NAME)

CRN 847415-84-3  
CMF C19 H22 F2 N6

Absolute stereochemistry.



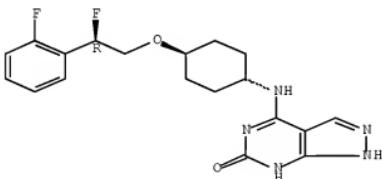
CM 2

CRN 76-05-1  
CMF C2 H F3 O2



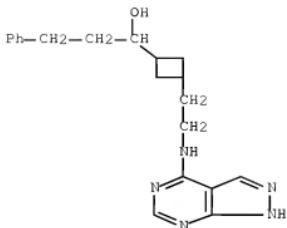
RN 847415-86-5 CAPLUS  
CN 6H-Pyrazolo[3,4-d]pyrimidin-6-one, 4-[[trans-4-[(2R)-2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]amino]-1,5-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

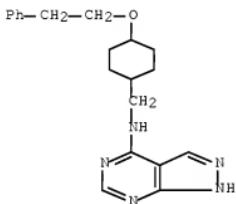


RN 847415-87-6 CAPLUS

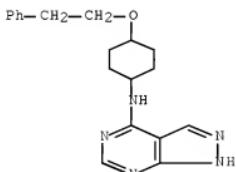
CN Benzeneopropanol,  $\alpha$ -[3-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)ethyl]cyclobutyl]- (CA INDEX NAME)



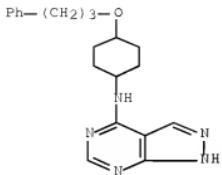
RN 847415-89-8 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[4-(2-phenylethoxy)cyclohexyl]methyl]- (CA INDEX NAME)



RN 847415-90-1 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

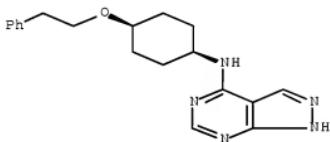


RN 847415-91-2 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[4-(3-phenylpropoxy)cyclohexyl]- (CA INDEX NAME)



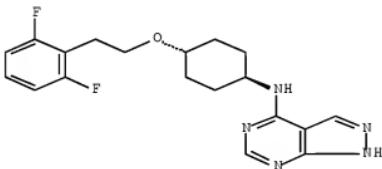
RN 847415-92-3 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-(2-phenylethoxy)cyclohexyl]-  
 (CA INDEX NAME)

Relative stereochemistry.



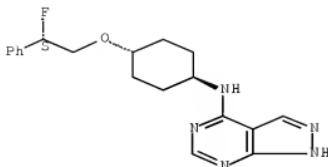
RN 847415-93-4 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2,6-difluorophenyl)ethoxy]cyclohexyl]-  
 (CA INDEX NAME)

Relative stereochemistry.



RN 847415-94-5 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2S)-2-fluoro-2-phenylethoxy]cyclohexyl]-  
 (CA INDEX NAME)

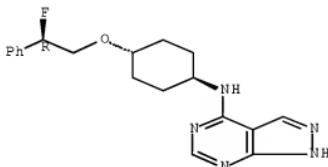
Absolute stereochemistry.



RN 847415-95-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2R)-2-fluoro-2-phenylethoxy]cyclohexyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 847415-96-7 CAPLUS

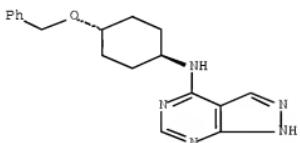
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(phenylmethoxy)cyclohexyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 847415-26-3

CMF C18 H21 N5 O

Relative stereochemistry.



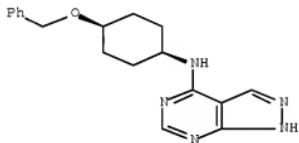
CM 2

CRN 76-05-1  
CME C2 H F3 O2



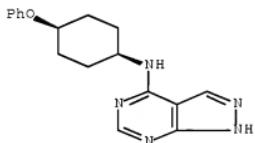
RN 847415-98-9 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-4-(phenylmethoxy)cyclohexyl]-  
(CA INDEX NAME)

Relative stereochemistry.



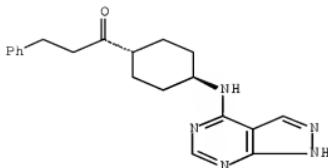
RN 847415-99-0 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cis-4-phenoxy)cyclohexyl- (CA INDEX NAME)

Relative stereochemistry.



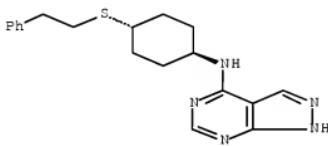
RN 847416-00-6 CAPLUS  
CN 1-Propanone, 3-phenyl-1-[trans-4-(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



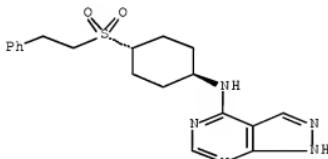
RN 847416-01-7 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-phenylethyl)thio]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



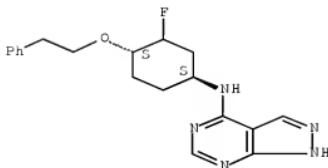
RN 847416-02-8 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-phenylethyl)sulfonyl]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



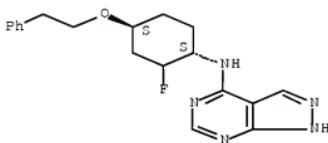
RN 847416-03-9 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



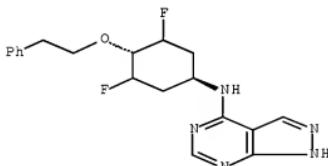
RN 847416-04-0 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-2-fluoro-4-(2-phenylethoxy)cyclohexyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



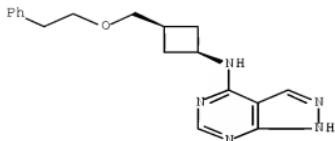
RN 847416-05-1 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1a,4b)-3,5-difluoro-4-(2-phenylethoxy)cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



RN 847416-06-2 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-3-[(2-phenylethoxy)methyl]cyclobutyl]- (CA INDEX NAME)

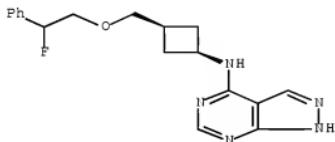
Relative stereochemistry.



RN 847416-07-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[cis-3-((2-fluoro-2-phenylethoxy)methyl)cyclobutyl]- (CA INDEX NAME)

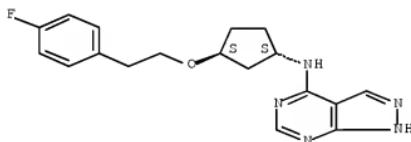
Relative stereochemistry.



RN 847416-08-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-((2-(4-fluorophenyl)ethoxy)cyclopentyl)methyl]-, rel- (CA INDEX NAME)

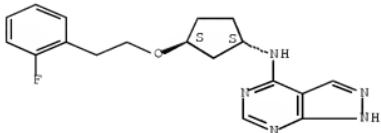
Relative stereochemistry.



RN 847416-09-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-((2-(4-fluorophenyl)ethoxy)cyclopentyl)methyl]-, rel- (CA INDEX NAME)

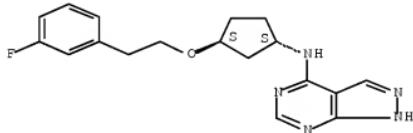
Relative stereochemistry.



RN 847416-10-8 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-{2-(3-fluorophenyl)ethoxy}cyclopentyl]-, rel- (CA INDEX NAME)

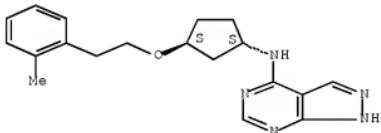
Relative stereochemistry.



RN 847416-11-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-{2-(2-methylphenyl)ethoxy}cyclopentyl]-, rel- (CA INDEX NAME)

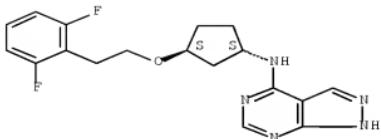
Relative stereochemistry.



RN 847416-12-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-{2-(2,6-difluorophenyl)ethoxy}cyclopentyl]-, rel- (CA INDEX NAME)

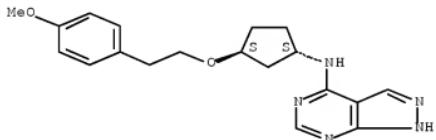
Relative stereochemistry.



RN 847416-13-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-{2-(4-methoxyphenyl)ethoxy}cyclopentyl]-, rel- (CA INDEX NAME)

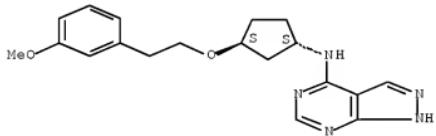
Relative stereochemistry.



RN 847416-14-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-{2-(3-methoxyphenyl)ethoxy}cyclopentyl]-, rel- (CA INDEX NAME)

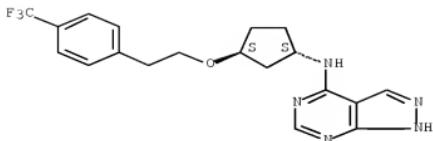
Relative stereochemistry.



RN 847416-15-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-{2-(4-(trifluoromethyl)phenyl)ethoxy}cyclopentyl]-, rel- (CA INDEX NAME)

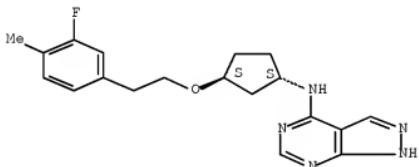
Relative stereochemistry.



RN 847416-16-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-{2-(3-fluoro-4-methylphenyl)ethoxy}cyclopentyl]-, rel- (CA INDEX NAME)

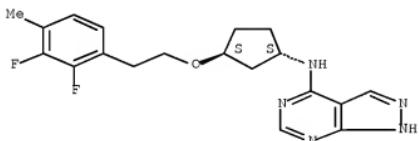
Relative stereochemistry.



RN 847416-17-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-{2-(2,3-difluoro-4-methylphenyl)ethoxy}cyclopentyl]-, rel- (CA INDEX NAME)

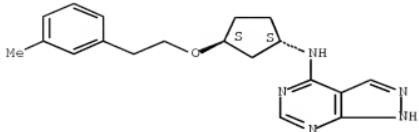
Relative stereochemistry.



RN 847416-18-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-{2-(3-methylphenyl)ethoxy}cyclopentyl]-, rel- (CA INDEX NAME)

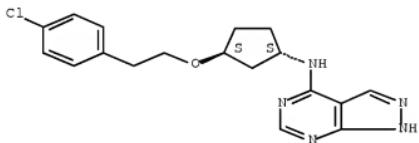
Relative stereochemistry.



RN 847416-19-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-{2-(4-chlorophenyl)ethoxy}cyclopentyl]-, rel- (CA INDEX NAME)

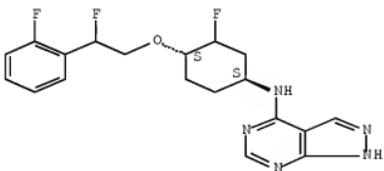
Relative stereochemistry.



RN 847416-20-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-3-fluoro-4-[2-fluoro-2-(2-fluorophenyl)ethoxy]cyclohexyl]-, rel- (CA INDEX NAME)

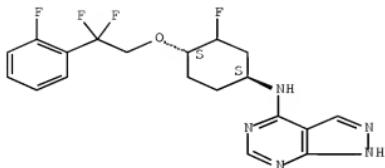
Relative stereochemistry.



RN 847416-21-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-4-[2,2-difluoro-2-(2-fluorophenyl)ethoxy]-3-fluorocyclohexyl]-, rel- (CA INDEX NAME)

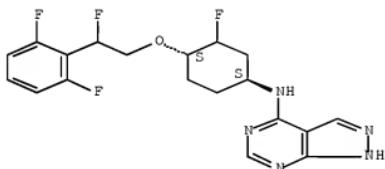
Relative stereochemistry.



RN 847416-22-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,4R)-4-(2-(2,6-difluorophenyl)-2-fluoroethoxy)-3-fluorocyclohexyl]-, rel- (CA INDEX NAME)

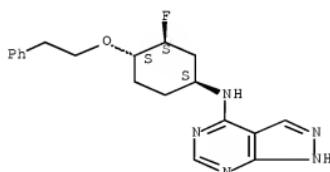
Relative stereochemistry.



RN 847417-15-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1S,3S,4S)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

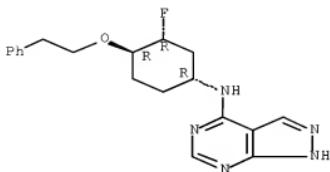


● HCl

RN 847417-16-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R,4R)-3-fluoro-4-(2-phenylethoxy)cyclohexyl]-, monohydrochloride (9CI) (CA INDEX NAME)

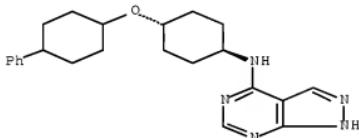
Absolute stereochemistry.



● HCl

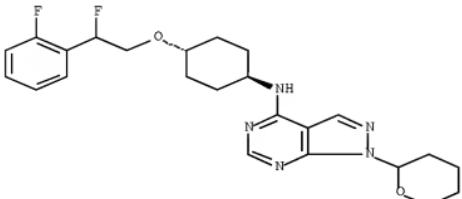
RN 847482-01-3 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(4-phenylcyclohexyl)oxy]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



IT 847416-39-1P 847416-90-4P 847417-03-2P  
847417-36-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of 4-cycloalkylaminopyrazolopyrimidines as NMDA/NR2B antagonists)  
RN 847416-39-1 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-[(2-fluoro-2-(2-fluorophenyl)ethoxy)cyclohexyl]-1-(tetrahydro-2H-pyran-2-yl)- (CA INDEX NAME)

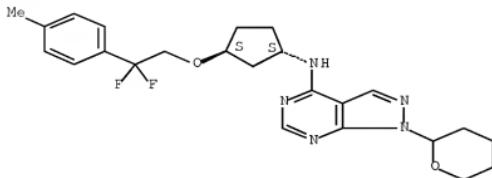
Relative stereochemistry.



RN 847416-90-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2,2-difluoro-2-(4-methylphenyl)ethoxy]cyclopentyl]-1-(tetrahydro-2H-pyran-2-yl)-, rel- (CA INDEX NAME)

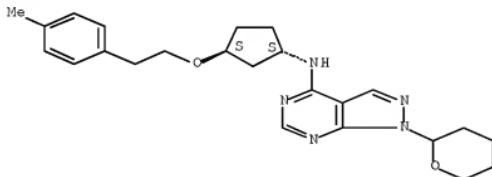
Relative stereochemistry.



RN 847417-03-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(1R,3R)-3-[2-(4-methylphenyl)ethoxy]cyclopentyl]-1-(tetrahydro-2H-pyran-2-yl)-, rel- (CA INDEX NAME)

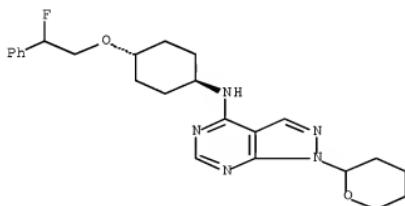
Relative stereochemistry.



RN 847417-36-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[trans-4-(2-fluoro-2-phenylethoxy)cyclohexyl]-1-(tetrahydro-2H-pyran-2-yl)- (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Preparation of fused aryl and heteroaryl derivatives, in particular pyrazolo[3,4-d]pyrimidines, as modulators of G-coupled protein receptor and their use in the prophylaxis and treatment of metabolic disorders  
 IN Jones, Robert M.; Semple, Graeme; Xiong, Yifeng; Shin, Young-Jun; Ren, Albert S.; Calderon, Imelda; Fioravanti, Beatriz; Choi, Jin Sun Karoline; Sage, Carlton R.

PA Arena Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 320 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005007658	A2	20050127	WO 2004-US22417	20040713
WO 2005007658	A3	20050616		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2532971	A1	20050127	CA 2004-2532971	20040713
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US 7132426	B2	20061107		
EP 1644375	A2	20060412	EP 2004-756935	20040713
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CN 1829718	A	20060906	CN 2004-80020172	20040713
BR 2004012689	A	20061003	BR 2004-12689	20040713
JP 2007531698	T	20071108	JP 2006-520271	20040713
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MX 2006PA00554	A	20060703	MX 2006-PA554	20060113
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US 2007072844	A1	20070329	US 2006-602162	20061120
US 2007082874	A1	20070412	US 2006-602176	20061120
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WO 2004-US22417	W	20040713		
US 2006-355785	A1	20060216		

OS MARPAT 142:176857

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [wherein A, B = independently (un)substituted alkylene; D = O, S, SO, SO<sub>2</sub>, etc.; E = N, C, CH and derivs.; K = (un)substituted cyclo/alkylene; Q = NH and derivs., O, S, SO, SO<sub>2</sub>; T, M, J = independently N, CH and derivs.; U, W, Z = independently C, N; V = a bond, N, CH and derivs.;

X, Y = independently O, S, N, CH and derivs., NH and derivs.; Ar1 = (un)substituted hetero/aryl; their pharmaceutically acceptable salts, hydrates and solvates] were prepared as modulators, in particular agonists and inverse agonists of G-coupled protein receptor (RUP3), for treating diabetes, hyperglycemia and other metabolic disorders. Ten biol. examples are given.

For example, II was prepared, in 5 steps, from 4-(methylsulfonyl)phenylhydrazine•HCl, ethoxymethylenemalononitrile and 4-chloro-1-(4-methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidine. Selected I displayed EC50 < 10  $\mu$ M in a melanophore-based pigment dispersion assay. Selected RUP3 agonists I lowered blood glucose levels in rats in an oral glucose tolerance test. Thus, I are useful in the prophylaxis or treatment of metabolic disorders and complications thereof, such as, diabetes and obesity.

IT 832715-72-7P, trans-4-[[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]carbamic acid tert-butyl ester

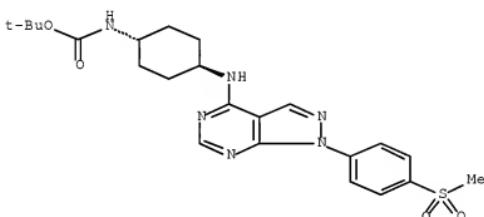
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of fused aryl and heteroaryl derivs., in particular pyrazolopyrimidines, as modulators of G-coupled protein receptor and their use in treatment of diabetes, hyperglycemia and related diseases)

RN 832715-72-7 CAPLUS

CN Carbamic acid, [trans-4-[[1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 832715-73-8P, trans-N-[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]cyclohexane-1,4-diamine 832715-74-9P, cis-N-[4-[[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]nicotinamide 832715-75-0P 832715-76-1P, cis-4-[[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]methyl carbamic acid tert-butyl ester 832715-77-2P, cis-N-[4-[[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]methyl nicotinamide 832715-78-3P, cis-N-[4-[[1-(4-Methylsulfonylphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]methyl-6-methylnicotinamide 832715-15-1P, [4-[[1-(3,5-Bis(trifluoromethyl)phenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]carbamic acid tert-butyl ester 832716-23-1P, [4-[[1-(3-Fluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]carbamic acid tert-butyl ester 832716-25-3P,

cis-[4-[(1-(2,4-Difluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]cyclohexyl]carbamic acid tert-butyl ester 832716-39-0P,  
N-[1-(2,4-Difluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]cyclohexane-1,4-diamine

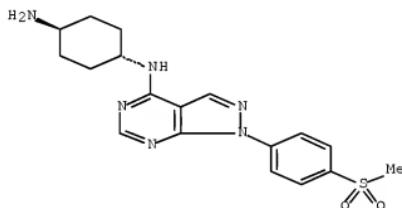
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fused aryl and heteroaryl derivs., in particular pyrazolopyrimidines, as modulators of G-coupled protein receptor and their use in treatment of diabetes, hyperglycemia and related diseases)

RN 832715-73-8 CAPLUS

CN 1,4-Cyclohexanediamine, N-[1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]-, trans- (9CI) (CA INDEX NAME)

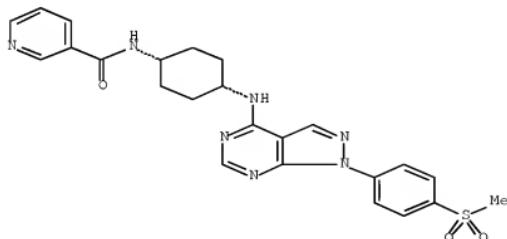
Relative stereochemistry.



RN 832715-74-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[cis-4-[(1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]cyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.

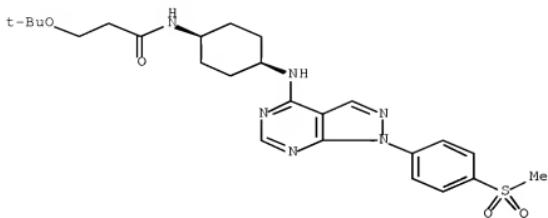


RN 832715-75-0 CAPLUS

CN Propanamide, 3-(1,1-dimethylethoxy)-N-[cis-4-[(1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]cyclohexyl]-

(CA INDEX NAME)

Relative stereochemistry.

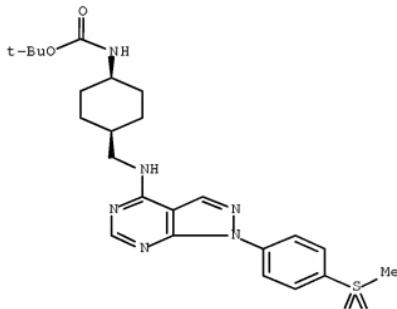


RN 832715-76-1 CAPLUS

CN Carbamic acid, [cis-4-[[1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]methyl]cyclohexyl-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



PAGE 2-A

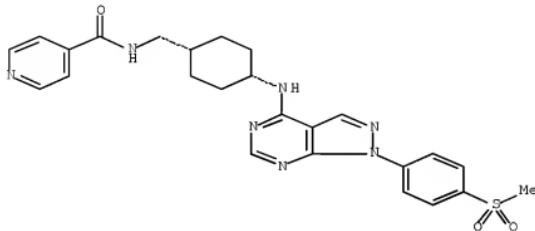
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RN 832715-77-2 CAPLUS

CN 4-Pyridinecarboxamide, N-[(cis-4-[[1-[4-(methylsulfonyl)phenyl]-1H-

pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]methyl] - (CA INDEX NAME)

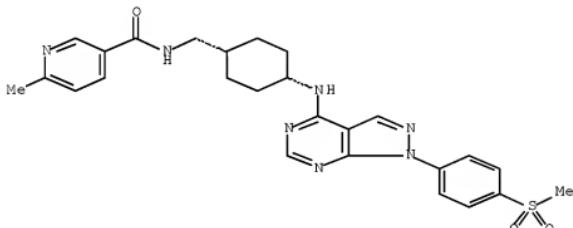
Relative stereochemistry.



RN 832715-78-3 CAPLUS

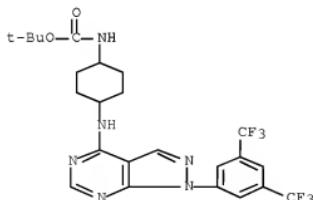
CN 3-Pyridinecarboxamide, 6-methyl-N-[[cis-4-[[1-[4-(methylsulfonyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]methyl] - (CA INDEX NAME)

Relative stereochemistry.



RN 832716-15-1 CAPLUS

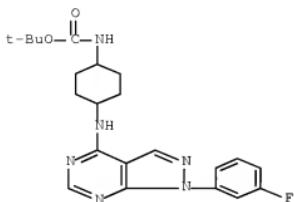
CN Carbamic acid, [4-[[1-[3,5-bis(trifluoromethyl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]cyclohexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 832716-23-1 CAPLUS

CN Carbamic acid, [4-[[1-(3-fluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-

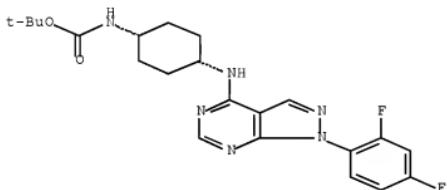
yl]amino)cyclohexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 832716-25-3 CAPLUS

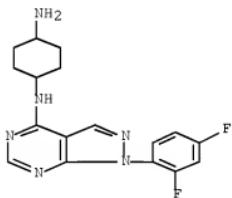
CN Carbamic acid, [cis-4-[(1-(2,4-difluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino)cyclohexyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 832716-30-0 CAPLUS

CN 1,4-Cyclohexanediamine, N-[1-(2,4-difluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (9CI) (CA INDEX NAME)



DN

142:38272

TI 4-Amino-substituted derivatives of pyrazolo[3,4-d]pyrimidine and pyrrolo[2,3-d]pyrimidine and their preparation, pharmaceutical compositions, and use as antitumor and antileukemic agents

IN Bondavalli, Francesco; Botta, Maurizio; Bruno, Olga; Manetti, Fabrizio; Schenone, Silvia; Carraro, Fabio

PA Universita Degli Studi di Siena, Italy

SO PCT Int. Appl., 29 pp.

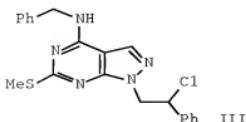
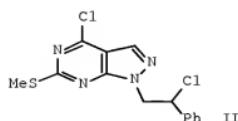
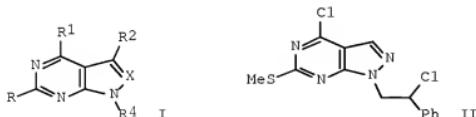
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004106340	A2	20041209	WO 2004-IT303	20040526
	WO 2004106340	A3	20050217		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2527496	A1	20041209	CA 2004-2527496	20040526
	EP 1638966	A2	20060329	EP 2004-734885	20040526
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	JP 2007500206	T	20070111	JP 2006-531028	20040526
	US 2007010510	A1	20070111	US 2006-558553	20060814
PRAI	IT 2003-RM263	A	20030528		
	IT 2003-RM264	A	20030528		
	WO 2004-IT303	W	20040526		
OS	MARPAT 142:38272				
GI					



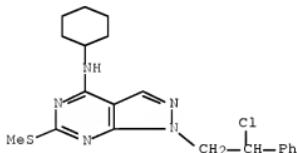
AB 4-Amino-substituted pyrazolo[3,4-d]pyrimidine and pyrrolo[2,3-d]pyrimidine derivs., particularly I [X = CH or N; R = H, alkylthio, aminoalkylthio; R1 = NH-cyclopropyl, NHP*r*, NH*Bu*, NEt<sub>2</sub>, NHCH<sub>2</sub>CH<sub>2</sub>OEt, pyrrolidin-1-yl, piperidin-1-

yl, morpholin-4-yl, NH-cyclohexyl, hexahydroazepin-1-yl, NHCH<sub>2</sub>Ph, NHCH<sub>2</sub>CH<sub>2</sub>Ph (others unclaimed); R<sub>2</sub> = H, C<sub>6</sub>H<sub>4</sub>R<sub>3</sub>; R<sub>3</sub> = H, halo, alkyl; R<sub>4</sub> = CH<sub>2</sub>CH(R<sub>5</sub>)C<sub>6</sub>H<sub>4</sub>R<sub>3</sub> or CH:CHC<sub>6</sub>H<sub>4</sub>R<sub>3</sub>; R<sub>5</sub> = Cl, Br, OH), are described. I are inhibitors of cell proliferation in A431, 8701-BC, and leukemia cell lines, and are thus potential antitumor and antileukemic agents. Approx. 50 compds. I were tested in bioassays, and preparatory data for most of the compds. is given. For instance, Et 5-amino-1-(2-hydroxy-2-phenylethyl)-1H-pyrazole-4-carboxylate was thioacylated with benzoyl isothiocyanate (93%), cyclized with aqueous NaOH (80%), S-methylated with MeI (72%), and chlorinated at both the ring and sidechain with POCl<sub>3</sub> and DMF (Vilsmeier complex) (65%), to give the chloride intermediate II. Reaction of II with PhCH<sub>2</sub>NH<sub>2</sub> in PhMe at room temperature for 24 h gave 81% invention compound III. This compound inhibited Src phosphorylation with almost the same efficacy as PP2. In regard to antiproliferative activity toward A431 cells, 11 compds. including III had IC<sub>50</sub> values comparable to or better than PP2. Compds. I showed no cytotoxicity toward tested cell lines at 10 nM to 10  $\mu$ M when evaluated by trypan blue exclusion.

IT 691390-35-9P, 4-(Cyclohexylamino)-1-(2-chloro-2-phenylethyl)-6-(methylthio)-1H-pyrazolo[3,4-d]pyrimidine 805326-18-5P,  
 4-(Cyclohexylamino)-1-(2-phenylvinyl)-1H-pyrazolo[3,4-d]pyrimidine 805326-23-2P, 1-(2-Bromo-2-phenylethyl)-4-(cyclohexylamino)-1H-pyrazolo[3,4-d]pyrimidine 805326-26-5P, 1-(2-Bromo-2-phenylethyl)-4-(cyclopropylamino)-1H-pyrazolo[3,4-d]pyrimidine  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of amino-substituted pyrazolopyrimidine and pyrrolopyrimidine derivs. as antitumor and antileukemic agents)

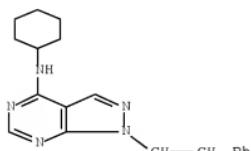
RN 691390-35-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclohexyl-6-(methylthio)- (CA INDEX NAME)



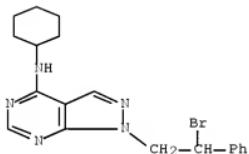
RN 805326-18-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1-(2-phenylethyl)- (CA INDEX NAME)



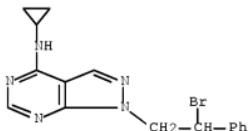
RN 805326-23-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-cyclohexyl- (CA INDEX NAME)



RN 805326-26-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-bromo-2-phenylethyl)-N-cyclopropyl- (CA INDEX NAME)

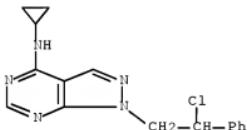




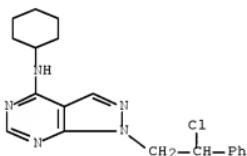
proliferation of two cell lines: A431 with a high d. of EGF receptors, and PAEC transfected with VEGFR2 receptors. In particular, I [R1 = NHCH2Ph, R2 = CH2CH(Cl)Ph], one of 3 preferred compds., gave approx. 125% inhibition of PAEC proliferation compared to SU5614, a known VEGF receptor antagonist.

IT 805227-49-0P, N-Cyclopropyl-1-(2-chloro-2-phenylethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine  
 pyrazolo[3,4-d]pyrimidin-4-amine 805227-57-0P,  
 N-Cyclohexyl-1-(2-chloro-2-phenylethyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of amine-substituted derivs. of pyrazolopyrimidine as antitumor agents)

RN 805227-49-0 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclopropyl- (CA INDEX NAME)

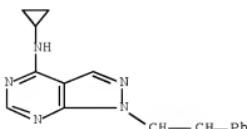


RN 805227-57-0 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclohexyl- (CA INDEX NAME)

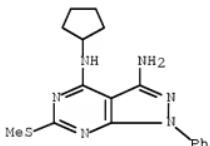


IT 805227-61-6P, N-Cyclopropyl-1-(2-phenylvinyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of amine-substituted derivs. of pyrazolopyrimidine as antitumor agents)

RN 805227-61-6 CAPLUS  
 CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopropyl-1-(2-phenylethenyl)- (CA INDEX NAME)



L10 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:996490 CAPLUS [Full-text](#)  
DN 143:115498  
TI Solid-phase synthesis of 3,4-diamino-1H-pyrazolo[5,4-d]pyrimidines  
AU Xie, Jun; Ma, Yue-Long; Xiao, Yuan-Jing; Yang, Li-Ping  
CS Department of Chemistry, East China Normal University, Shanghai, 200062, Peop. Rep. China  
SO Youji Huaxue (2004), 24(11), 1436-1439  
CODEN: YCHHDX; ISSN: 0253-2786  
PB Kexue Chubanshe  
DT Journal  
LA Chinese  
OS CASREACT 143:115498  
AB Various substituted pyrazolo[5,4-d]pyrimidines were prepared by Merrifield resin supported solid-phase synthesis via reductive amination, substitution, intramol. nucleophilic addition, finally cleavage from the resin using trifluoroacetic acid gave the desired title products.  
IT 857635-71-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(solid-phase synthesis of diaminopyrazolopyrimidines)  
RN 857635-71-3 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidine-3,4-diamine, N4-cyclopentyl-6-(methylthio)-1-phenyl- (CA INDEX NAME)



L10 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:881212 CAPLUS Full-text

DN 142:56251

TI Antiproliferative activity of new 1-aryl-4-amino-1H-pyrazolo[3,4-d]pyrimidine derivatives toward the human epidermoid carcinoma A431 cell line

AU Schenone, Silvia; Bruno, Olga; Bondavalli, Francesco; Ranise, Angelo; Mosti, Luisa; Menozzi, Giulia; Fossa, Paola; Donnini, Sandra; Santoro, Annalisa; Ziche, Marina; Manetti, Fabrizio; Botta, Maurizio

CS Dipartimento di Scienze Farmaceutiche, Universita degli Studi di Genova, Genoa, 16132, Italy

SO European Journal of Medicinal Chemistry (2004), 39(11), 939-946  
CODEN: EJMCA5; ISSN: 0223-5234

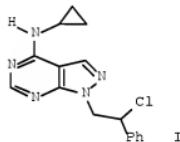
PB Elsevier Ltd.

DT Journal

LA English

OS CASREACT 142:56251

GI



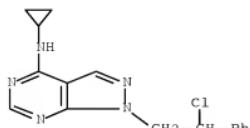
AB Synthesis and biol. evaluation of a class of 1-aryl-4-amino-1H-pyrazolo[3,4-d]pyrimidines, e.g., I, are reported. A preliminary cellular assay system using the tumor cell line A431 responding to epidermal growth factor (EGF) for its growth, showed that the compds. were potent inhibitors of cell growth. The inhibition of tumor cell proliferation was not associated with blockage of EGF receptor, but substantially due to the interference with the signaling pathway at the level of Src tyrosine kinase and at the level of the downstream effector signal mitogen activated protein kinases, ERK1-2.

IT 805227-49-0P 805227-57-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antiproliferative activity of N-[chloro(phenyl)ethyl]aminopyrazolopyrimidines via heterocyclization of N-[chloro(phenyl)ethyl]aminopyrazolecarboxylate followed by chlorination and amination with amines)

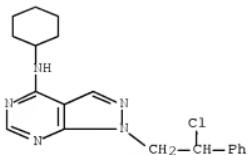
RN 805227-49-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclopropyl- (CA INDEX NAME)



RN 805227-57-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclohexyl- (CA INDEX NAME)



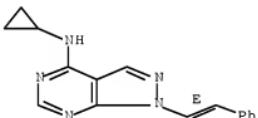
IT 811412-42-7P 811412-44-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(stereoselective preparation and antiproliferative activity of N-(styryl)aminopyrazolopyrimidines via chlorination of N-[chloro(phenyl)ethyl]pyrazolopyrimidinones followed stereoselective elimination and amination with amines)

RN 811412-42-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopropyl-1-[(1E)-2-phenylethyl]- (CA INDEX NAME)

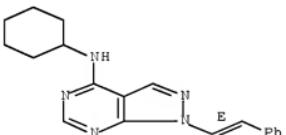
Double bond geometry as shown.



RN 811412-44-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1-[(1E)-2-phenylethyl]- (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2004:153867 CAPLUS [Full-text](#)  
DN 140:423633

TI Synthesis of 1-(2-chloro-2-phenylethyl)-6-methylthio-1H-pyrazolo[3,4-d]pyrimidines 4-amino substituted and their biological evaluation

AU Schenone, Silvia; Bruno, Olga; Bondavalli, Francesco; Ranise, Angelo; Mosti, Luisa; Menozzi, Giulia; Fossa, Paola; Manetti, Fabrizio; Morbidelli, Lucia; Trincavelli, Letizia; Martini, Claudia; Lucacchini, Antonio

CS Dipartimento di Scienze Farmaceutiche, Universita degli Studi di Genova, Genoa, 16132, Italy

SO European Journal of Medicinal Chemistry (2004), 39(2), 153-160  
CODEN: EJMCA5; ISSN: 0223-5234

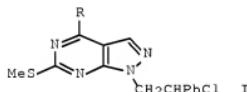
PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 140:423633

GI



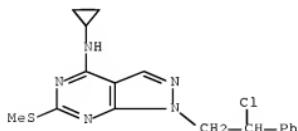
AB A new series of 4-amino-6-methylthio-1H-pyrazolo[3,4-d]pyrimidines (I, R = cyclopropylamino, NHBu, morpholino, etc.), bearing the 2-chloro-2-phenylethyl chain at the N1 position, has been synthesized. The affinity of these compds. for A1 adenosine receptor (A1AR) was measured. The compds. showed poor affinity. A more interesting result was obtained by three examples of I which demonstrated inhibitory activity on cell proliferation of the A-431 cell line stimulated by epithelial growth factor (EGF) and on EGF receptor tyrosine kinase (EGFR-TK) phosphorylation.

IT 691390-31-5P 691390-35-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of 4-amino substituted 1-(2-chloro-2-phenylethyl)-6-methylthio-1H-pyrazolo[3,4-d]pyrimidines and their affinity for A1 adenosine receptor)

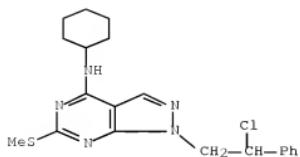
RN 691390-31-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclopropyl-6-(methylthio)- (CA INDEX NAME)



RN 691390-35-9 CAPLUS

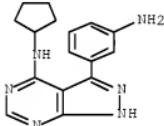
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 1-(2-chloro-2-phenylethyl)-N-cyclohexyl-6-(methylthio)- (CA INDEX NAME)



RE.CNT 29

THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:726568 CAPLUS [Full-text](#)  
DN 139:276869  
TI One-Pot Two-Step Microwave-Assisted Reaction in Constructing  
4,5-Disubstituted Pyrazolopyrimidines  
AU Wu, Tom Y. H.; Schultz, Peter G.; Ding, Sheng  
CS Department of Chemistry, The Scripps Research Institute, La Jolla, CA,  
92037, USA  
SO Organic Letters (2003), 5(20), 3587-3590  
CODEN: ORLEF7; ISSN: 1523-7060  
PB American Chemical Society  
DT Journal  
LA English  
OS CASREACT 139:276869  
AB A microwave-assisted reaction was developed to facilitate the construction of  
4,5-disubstituted pyrazolopyrimidines from 4-chloro-5- bromopyrazolopyrimidin.  
This one-pot two-step process involves a sequential SNAr displacement of the  
C4 chloro substituent with various anilines and amines, followed by a Suzuki  
coupling reaction with different boronic acids. Using microwave irradiation  
leads to high product conversion, low side product formation, and shorter  
reactions.  
IT 606931-84-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(one-pot two-step microwave-assisted reaction in constructing  
4,5-disubstituted pyrazolopyrimidines)  
RN 606931-84-4 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, 3-(3-aminophenyl)-N-cyclopentyl- (CA  
INDEX NAME)



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2003:570644 CAPLUS Full-text

DN 139:133575  
TI Preparation of bicyclic pyrimidinyl derivatives as adenosine receptor ligands

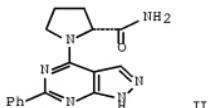
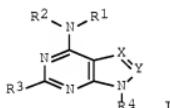
IN Castelhano, Arlindo L.; McKibben, Bryan  
PA OSI Pharmaceuticals Inc., USA  
SO U.S. Pat. Appl. Publ., 105 pp.  
CODEN: USXXCO

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003139427	A1	20030724	US 2002-227378	20020823
PRAI US 2002-227378		20020823		
OS MARPAT 139:133575				

GI



AB Title compds. I [Y = N, CR5 and X = N, CR6 wherein X, Y are both N or when Y = CR5, X = N or when X = CR6, Y = N; R1-2 = H, alkoxy, aminoalkyl, etc; R3-4 = H, alkyl, aryl, alkylaryl] are prepared. For instance, 3-amino-4-carbamoylpyrazole is acylated with benzoyl chloride (Pyridine, 50°, 5-6 h), cyclized to the corresponding pyrazolopyrimidine (water, K2CO3, 100°, 16 h), converted to the chloride (POCl3, 106°, 2 h) and used for reactions with various amines to give the example compds., e.g., II. II has Ki = 76.7 nM for the adenosine A1 receptor, Ki = 242.7 nM for A2a and Ki = 1480.5 nM for A2b. I are useful for the treatment of.

IT 565234-93-5P 565234-96-0P 565235-00-9P  
565235-05-4P 565235-84-9P 565235-87-2P  
565235-90-7P 565235-93-0P

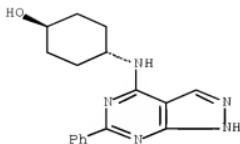
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of bicyclic pyrazolo- imidazo- and triazolopyrimidinyl derivs. as adenosine receptor ligands)

RN 565234-83-5 CAPLUS

CN Cyclohexanol, 4-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-, trans- (CA INDEX NAME)

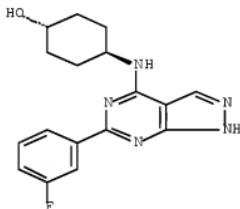
Relative stereochemistry.



RN 565234-96-0 CAPLUS

CN Cyclohexanol, 4-[(6-(3-fluorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-, trans- (CA INDEX NAME)

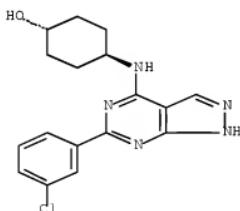
Relative stereochemistry.



RN 565235-00-9 CAPLUS

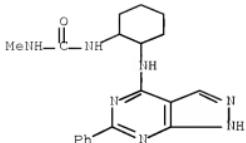
CN Cyclohexanol, 4-[(6-(3-chlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-, trans- (CA INDEX NAME)

Relative stereochemistry.



RN 565235-05-4 CAPLUS

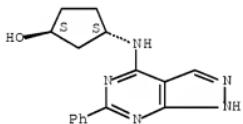
CN Urea, N-methyl-N'-(2-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]cyclohexyl)- (CA INDEX NAME)



RN 565235-84-9 CAPLUS

CN Cyclopentanol, 3-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-, (1S,3S)- (CA INDEX NAME)

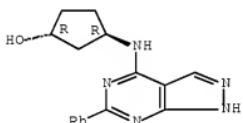
Absolute stereochemistry.



RN 565235-87-2 CAPLUS

CN Cyclopentanol, 3-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-, (1R,3R)- (CA INDEX NAME)

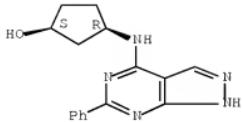
Absolute stereochemistry.



RN 565235-90-7 CAPLUS

CN Cyclopentanol, 3-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-, (1S,3R)- (CA INDEX NAME)

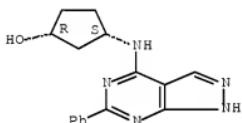
Absolute stereochemistry.



RN 565235-93-0 CAPLUS

CN Cyclopentanol, 3-[(6-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl)amino]-,  
(1R,3S)- (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:814851 CAPLUS Full-text

DN 137:310930

TI Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties

IN Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart, Neil; Arnold, Lee D.; Friedman, Michael M.

PA Abbott Laboratories, USA

SO U.S. Pat. Appl. Publ., 426 pp., Cont.-in-part of U.S. Ser. No. 663,780.

CODEN: USXXCO

DT Patent

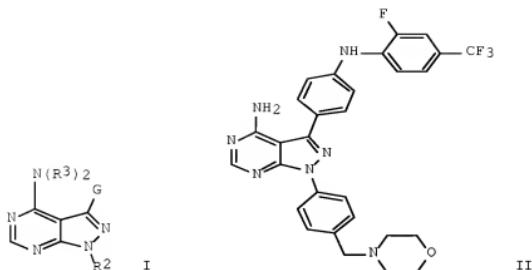
LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2002156081	A1	20021024	US 2001-815310	20010322
US 6921763	B2	20050726		
US 6660744	B1	20031209	US 2000-663780	20000915
CA 2440724	A1	20021017	CA 2002-2440724	20020322
WO 2002080926	A1	20021017	WO 2002-US9104	20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002316030	A1	20021021	AU 2002-316030	20020322
EP 1385524	A1	20040204	EP 2002-746301	20020322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1520298	A	20040811	CN 2002-810250	20020322
JP 2004531513	T	20041014	JP 2002-578965	20020322
BR 2002005889	A	20041109	BR 2002-5889	20020322
ZA 2003006886	A	20040716	ZA 2003-6886	20030903
NO 2003004176	A	20031121	NO 2003-4176	20030919
MX 2003PA08561	A	20040630	MX 2003-PA8561	20030922
IN 2003MN00935	A	20050429	IN 2003-MN935	20031003
BG 108269	A	20041230	BG 2003-108269	20031014
PRAI US 1999-154620P	P	19990917		
US 2000-663780	A2	20000915		
US 2001-815310	A	20010322		
WO 2002-US9104	W	20020322		

OS MARPAT 137:310930

GI



AB Title compds. I [wherein G = (un)substituted 5-6 membered (azahetero)aryl; R2 = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un)substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); R3 = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared. For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh3)4, and Na2CO3 in H2O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of  $\leq$  50  $\mu$ M. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of  $\leq$  50  $\mu$ M. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

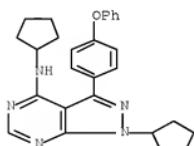
IT 330792-71-7P, 1-Cyclopentyl-4-(cyclopentylamino)-3-(4-phenoxypyhenyl)-1H-pyrazolo[3,4-d]pyrimidine

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

RN 330792-71-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N,1-dicyclopentyl-3-(4-phenoxypyhenyl)- (CA INDEX NAME)



TI Preparation of 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines as protein kinase inhibitors with antiangiogenic properties

IN Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt; Calderwood, David; Wishart, Neil; Arnold, Lee D.; Friedman, Michael M.

PA Abbott G.m.b.H. &amp; Co. K.-G., Germany

SO PCT Int. Appl., 867 pp.

CODEN: PIXXD2

DT Patent

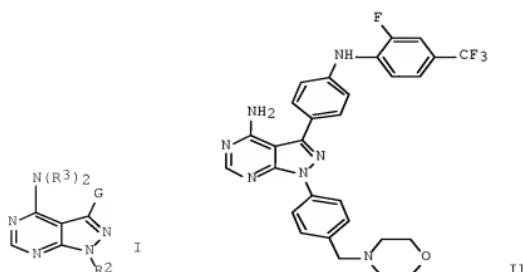
LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002080926	A1	20021017	WO 2002-US9104	20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002156081	A1	20021024	US 2001-815310	20010322
US 6921763	B2	20050726		
CA 2440724	A1	20021017	CA 2002-2440724	20020322
AU 2002316030	A1	20021021	AU 2002-316030	20020322
EP 1385524	A1	20040204	EP 2002-746301	20020322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004531513	T	20041014	JP 2002-578965	20020322
BR 2002005889	A	20041109	BR 2002-5889	20020322
NO 2003004176	A	20031121	NO 2003-4176	20030919
MX 2003PA08561	A	20040630	MX 2003-PA8561	20030922
IN 2003MN00935	A	20050429	IN 2003-MN935	20031003
PRAI US 2001-815310	A	20010322		
US 1999-154620P	P	19990917		
US 2000-663780	A2	20000915		
WO 2002-US9104	W	20020322		

OS MARPAT 137:310925

GI



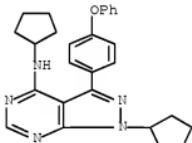
AB Title compds. I [wherein G = (un)substituted 5-6 membered (azahetero)aryl; R2 = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C6H4-4-CH2E; E = (un)substituted alkyl-OR, alkyl-CO2R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR2; R = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); R3 = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared. For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give 4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde. Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh<sub>3</sub>)<sub>4</sub>, and Na<sub>2</sub>CO<sub>3</sub> in H<sub>2</sub>O afforded the N-(4-pyrazolopyrimidin-3-yl)phenylbenzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)3BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of  $\leq$  50  $\mu$ M. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of  $\leq$  50  $\mu$ M. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

IT 330792-71-7P, 1-Cyclopentyl-4-(cyclopentylamino)-3-(4-phenoxyphenyl)-1H-pyrazolo[3,4-d]pyrimidine

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

RN 330792-71-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N,1-dicyclopentyl-3-(4-phenoxyphenyl)- (CA INDEX NAME)



RE.CNT 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2001:796238 CAPLUS Full-text

DN 135:339292

TI Combinations of corticotropin releasing factor antagonists and growth hormone secretagogues

IN Fossa, Anthony A.

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 58 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1149583	A2	20011031	EP 2001-303033	20010330
EP 1149583	A3	20011114		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2001001456	A	20011204	BR 2001-1456	20010411
MX 2001PA03855	A	20020604	MX 2001-PA3855	20010411
CA 2344089	A1	20011013	CA 2001-2344089	20010412
US 2001041673	A1	20011115	US 2001-834477	20010413

PRAI US 2000-196698P

OS MARPAT 135:339292

AB This invention is directed to pharmaceutical compns. comprising corticotropin releasing factor antagonist and growth hormone or growth hormone secretagogues, prodrugs thereof, or pharmaceutically acceptable salts of said compds. or said prodrugs (Markush structures given). The invention is also directed to the use of such compns. in the treatment or prevention of osteoporosis and heart-related diseases (including congestive heart failure) in mammals, particularly humans (no data).

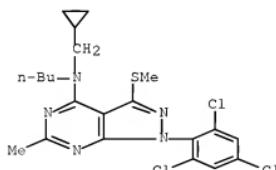
IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combinations of corticotropin releasing factor antagonists and growth hormone secretagogues)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



TI Use of corticotropin releasing factor (CRF) antagonists for treating syndrome X

IN Chen, Yuhpyng Liang; Hamanaka, Ernest Seiichi

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1097709	A2	20010509	EP 2000-309441	20001026
	EP 1097709	A3	20051221		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	AU 776724	B2	20040916	AU 2000-66695	20001024
	ZA 2000006008	A	20020426	ZA 2000-6008	20001026
	US 6589947	B1	20030708	US 2000-696822	20001026
	CA 2325069	A1	20010429	CA 2000-2325069	20001027
	HU 2000004194	A2	20011228	HU 2000-4194	20001027
	HU 2000004194	A3	20020328		
	NZ 507825	A	20041126	NZ 2000-507825	20001027
	US 2007117805	A1	20070524	US 2006-580996	20061013

PRAI US 1999-162340P

US 2000-559384

US 2002-80174

US 2003-721318

A1 19991029

A3 20000427

A1 20020219

A1 20031125

OS MARPAT 134:336224

AB Compns. and methods are provided for achieving a therapeutic effect, including the treatment or prevention of syndrome X in an animal, preferably a mammal

including a human subject or a companion animal, using a CRF antagonist alone

or together with a glucocorticoid receptor antagonist.

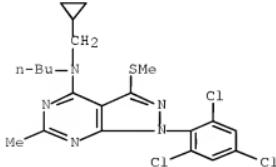
IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonist, alone or with glucocorticoid receptor antagonist, for treating syndrome X)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



TI Preparation of pyrazolopyrimidines as protein kinase inhibitors

IN Hirst, Gavin C.; Calderwood, David; Wishart, Neil; Rafferty, Paul; Ritter, Kurt; Arnold, Lee D.; Friedman, Michael M.

PA BASF Aktiengesellschaft, Germany

SO PCT Int. Appl., 527 pp.

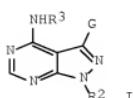
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019829	A2	20010322	WO 2000-US25468	20000915
WO 2001019829	A3	20010927		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2385747	A1	20010322	CA 2000-2385747	20000915
AU 200074950	A	20010417	AU 2000-74950	20000915
AU 780052	B2	20050224		
EP 1212327	A2	20020612	EP 2000-963554	20000915
EP 1212327	B1	20030820		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000014073	A	20020716	BR 2000-14073	20000915
JP 2003509428	T	20030311	JP 2001-523406	20000915
AT 247657	T	20030915	AT 2000-963554	20000915
PT 1212327	T	20040130	PT 2000-963554	20000915
ES 2207552	T3	20040601	ES 2000-963554	20000915
NZ 517758	A	20040625	NZ 2000-517758	20000915
TW 230709	B	20050411	TW 2000-89119064	20000916
ZA 2002002123	A	20030617	ZA 2002-2123	20020314
MX 2002PA02898	A	20031014	MX 2002-PA2898	20020314
NO 2002001328	A	20020521	NO 2002-1328	20020318
BG 106586	A	20030131	BG 2002-106586	20020405
HK 1050355	A1	20041015	HK 2002-108955	20021210
PRAI US 1999-154620P	P	19990917		
WO 2000-US25468	W	20000915		
OS MARPAT 134:252353				
GI				



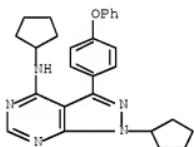
AB The title compds. [I; G = substituted Ph; R2 = BE; B = (un)substituted cycloalkyl, azacycloalkyl, etc.; E = (un)substituted azacycloalkyl, azacycloalkylcarbonyl, etc.; R3 = H, OH, alkyl, alkoxy which inhibit one or more protein kinase (such as FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, Src, and cdc2) activity, were prepared and formulated. E.g., a multi-step synthesis of I [G = 4-phenoxyphenyl; R2 = 1-benzyl-4- piperidinyl; R3 = H] was described. Biol. data for compds. I were given.

IT 334732-71-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of pyrazolopyrimidines as protein kinase inhibitors)

RN 330792-71-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N,1-dicyclopentyl-3-(4-phenoxyphenyl)-  
(CA INDEX NAME)



L10 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2001:185043 CAPLUS Full-text

DN 134:217215

TI Use of CRF antagonists and related compositions for modifying circadian rhythm and treatment of depression and other conditions

IN Chen, Yuhpyng Liang

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 29 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1082960	A2	20010314	EP 2000-307074	20000818
	EP 1082960	A3	20020320		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6432989	B1	20020813	US 2000-587007	20000605
	EP 1449532	A1	20040825	EP 2004-12293	20000818
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
	JP 2001097889	A	20010410	JP 2000-251836	20000823
	HU 2000003386	A2	20010730	HU 2000-3386	20000824
	HU 2000003386	A3	20030828		
	ZA 2000004362	A	20020225	ZA 2000-4362	20000824
	CA 2316662	A1	20010227	CA 2000-2316662	20000825
	NZ 506562	A	20020927	NZ 2000-506562	20000825
	AU 776077	B2	20040826	AU 2000-53644	20000825
	US 2002156089	A1	20021024	US 2002-161816	20020604
	US 2004082597	A1	20040429	US 2003-676201	20031001
PRAI	US 1999-151183P	P	19990827		
	US 2000-587007	A3	20000605		
	EP 2000-307074	A3	20000818		
	US 2002-161816	A3	20020604		

AB A corticotropin releasing factor (CRF) antagonist is administered to treat disorders that can be treated by altering circadian rhythm, as well as depression (in which a second compound for treating depression is administered, the second compound having an onset of action that is delayed with respect to that of the CRF antagonist). Methods for treating cardiovascular diseases, migraine, non-migraine headaches, and emesis are also disclosed.

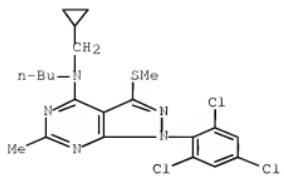
IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonists and related compns. for modifying circadian rhythm and treatment of depression and other conditions, and use with other agents)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



L10 ANSWER 23 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2000:876731 CAPLUS Full-text

DN 134:37023

TI Combinations of CRF antagonists and renin-angiotensin system inhibitors

IN Fossa, Anthony Andrea

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1059100	A2	20001213	EP 2000-304785	20000606
	EP 1059100	A3	20031203		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	US 6387894	B1	20020514	US 2000-587182	20000602
PRAI	US 1999-138734P	P	19990611		

OS MARPAT 134:37023

AB Compsn. and methods are provided for achieving a therapeutic effect including, but not limited to, the treatment of congestive heart failure or hypertension in an animal, preferably a mammal including a human subject or a companion animal, using a corticotropin releasing factor (CRF) antagonist and a renin-angiotensin system (RAS) inhibitor.

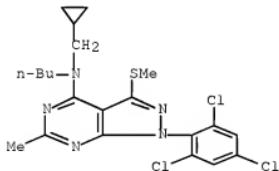
IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonist therapeutic combination with renin-angiotensin system inhibitor)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



L10 ANSWER 24 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2000:705040 CAPLUS Full-text

DN 133:276371

TI Use of corticotropin releasing factor (CRF) antagonists to prevent sudden death

IN Fossa, Anthony Andrea  
PA Pfizer Products Inc., USA  
SO Eur. Pat. Appl., 10 pp.  
CODEN: EPXXDW

DT Patent  
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1040831	A2	20001004	EP 2000-302253	20000320
EP 1040831	A3	20030502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AU 200022634	A	20001005	AU 2000-22634	20000328
AU 761694	B2	20030605		
ZA 2000001610	A	20011001	ZA 2000-1610	20000330
CA 2303577	A1	20001002	CA 2000-2303577	20000331
CA 2303577	C	20030610		
HU 2000001358	A2	20010129	HU 2000-1358	20000331
US 6384039	B1	20020507	US 2000-540439	20000331
NZ 503703	A	20050225	NZ 2000-503703	20000331
JP 2000302693	A	20001031	JP 2000-100606	20000403
PRAI US 1999-127659P	P	19990402		

OS MARPAT 133:276371

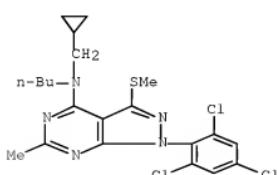
AB A method of preventing sudden death which comprises administering to a mammal, including a human, a therapeutically effective amount of a corticotropin releasing factor antagonist. The compds. are pyri(mi)dine or pyrazolopyri(mi)dine compds.

IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(as CRF antagonist; corticotropin releasing factor (CRF) antagonists to prevent sudden death)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000042042	A2	20000720	WO 2000-US551	20000111
	WO 2000042042	A3	20001102		
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA	2369895	A1	20000720	CA 2000-2369895	20000111
EP	1140938	A2	20011010	EP 2000-904268	20000111
EP	1140938	B1	20030827		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US	6383790	B1	20020507	US 2000-480993	20000111
JP	2002534524	T	20021015	JP 2000-593609	20000111
EP	1321467	A2	20030625	EP 2003-5036	20000111
EP	1321467	A3	20031008		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT	248170	T	20030915	AT 2000-904268	20000111
ES	2206191	T3	20040516	ES 2000-904268	20000111
AU	779008	B2	20041223	AU 2000-26053	20000111
US	2003073218	A1	20030417	US 2002-44967	20020529
PRAI	US 1999-115340P	P	19990111		
	US 1999-145422P	P	19990723		
	EP 2000-904268	A3	20000111		
	US 2000-480993	A1	20000111		
	WO 2000-US551	W	20000111		

AB The invention provides general methods for discovering mutant inhibitors for any class of enzymes as well as the specific inhibitors so identified. More specifically, the invention provides general methods for discovering specific inhibitors for multi-substrate enzymes. Examples of such multi-substrate enzymes include, but are not limited to, kinases and transferases. The mutant inhibitors identified by the methods of the invention can be used to highly selectively disrupt cell functions such as oncogenic transformation. In one particular example, the invention provides an Src protein kinase inhibitor, pharmaceutical compns. thereof and methods of disrupting transformation in a cell that expresses the target v-src comprising contacting the cell with the protein kinase inhibitor.

IT 206991-89-0P 206991-89-1P 206991-90-4P

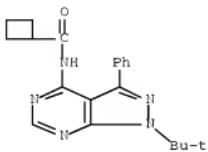
206991-95-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

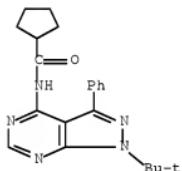
(high affinity enzyme inhibitors and therapeutic uses)

RN 206991-88-0 CAPLUS

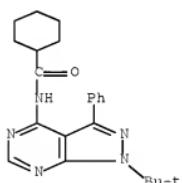
CN Cyclobutanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



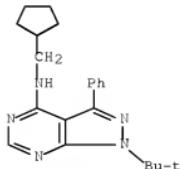
CN Cyclopentanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



CN Cyclohexanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-phenyl- (CA INDEX NAME)



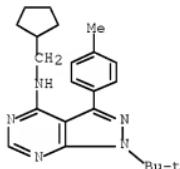
IT 211425-95-5 211426-05-0 211426-06-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(high affinity enzyme inhibitors and therapeutic uses)

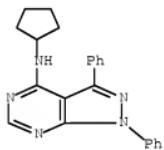
RN 211425-95-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-(4-methylphenyl)- (CA INDEX NAME)



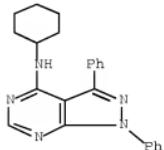
RN 211426-05-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-1,3-diphenyl- (CA INDEX NAME)



RN 211426-06-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1,3-diphenyl- (CA INDEX NAME)



L10 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 2000:269134 CAPLUS Full-text

DN 133:74005

TI Design, synthesis, and structure-activity relationship studies of ATP analogues as DNA gyrase inhibitors

AU Lubbers, Thomas; Angehrn, Peter; Gmunder, Hans; Herzig, Silvia; Kulhanek, Josef

CS Department of Infectious Diseases, F. Hoffmann-La Roche Ltd., Basel, CH-4070, Switz.

SO Bioorganic & Medicinal Chemistry Letters (2000), 10(8), 821-826

CODEN: BMCL8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB We report herein the design and synthesis of ATP-analogs, namely 4-amino-pyrazolo[3,4-d]pyrimidines and 4-amino-pyrazolo[1,5-a][1,3,5]triazines, with DNA gyrase inhibitory activity. Among these series, some compds. exhibited promising antibacterial activity.

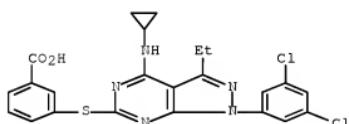
IT 278600-70-7P 278600-76-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and structure-activity relationship studies of ATP analogs as DNA gyrase inhibitors)

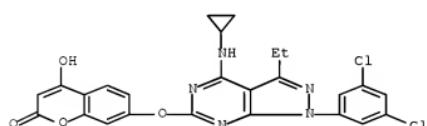
RN 278600-70-7 CAPLUS

CN Benzoic acid, 3-[[4-(cyclopropylamino)-1-(3,5-dichlorophenyl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-6-yl]thio]- (CA INDEX NAME)



RN 278600-76-3 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-[[4-(cyclopropylamino)-1-(3,5-dichlorophenyl)-3-ethyl-1H-pyrazolo[3,4-d]pyrimidin-6-yl]oxy]-4-hydroxy- (CA INDEX NAME)



RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Analogs of protein kinases that can utilize modified nucleotide triphosphate substrates and their uses

IN Shokat, Kevan M.

PA Princeton University, USA

SO PCT Int. Appl., 134 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9835048	A2	19980813	WO 1998-US2522	19980209
	WO 9835048	A3	19990107		
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA	2279846	A1	19980813	CA 1998-2279846	19980209
AU	9861535	A	19980826	AU 1998-61535	19980209
AU	755062	B2	20021205		
EP	1017823	A2	20000712	EP 1998-906268	19980209
EP	1017823	B1	20040714		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP	2002503953	T	20020205	JP 1998-534999	19980209
JP	3784076	B2	20060607		
AT	271130	T	20040715	AT 1998-906268	19980209
EP	1607481	A1	20051221	EP 2004-76255	19980209
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
MX	9907317	A	20020902	MX 1999-7317	19990806
US	6521417	B1	20030218	US 2000-568466	20000510
US	2002016976	A1	20020207	US 2001-752723	20010103
US	2002146797	A1	200201010	US 2001-985157	20011101
US	7049116	B2	20060523		
US	7026461	B1	20060411	US 2001-985061	20011101
JP	2004248675	A	20040909	JP 2004-87151	20040324
PRAI	US 1997-797522	A2	19970207		
	US 1997-46727P	P	19970516		
	EP 1998-906268	A3	19980209		
	JP 1998-534999	A3	19980209		
	WO 1998-US2522	W	19980209		
	US 1999-367065	A3	19991117		
AB	Protein kinases that have been modified, e.g. by mutation, to accept modified nucleotide triphosphate substrates that are not as readily utilized by the wild-type forms of those enzymes ("orthogonal substrates") are described for use in identifying the natural substrates of the enzymes. These enzymes can also be used to identify inhibitors with potential therapeutic uses and specific inhibitors of the v-src protein kinase are reported. Enzymes using these substrates can be used to identify the natural acceptors for the transferred group. Modified nucleotide triphosphate that can be used as substrates and methods of making and using them are also described. In general, the method may be extendable to other transferases that recognize more than one recipient. A series of 12 N6-substituted analogs of ATP were				

prepared by prior art chemical and [ $\gamma$ -32P]-labeled analogs used to test their use as substrates of cellular protein tyrosine kinases. Six of these analogs were not recognized by kinases present in crude exts. of splenocytes and the remainder were poor substrates. An analog of the v-src kinase with valine-323 and isoleucine-338 substituted with alanine was prepared by standard methods. This analog was sensitive to inhibition by several of the ATP analogs and bound nine of 12 but retained specificity for its protein substrates.

Pyrazolopyrimidines that did not inhibit wild type v-src kinase were identified and tested for their ability to inhibit the analog accepting the orthogonal substrates. The development of an inhibitor that shows a selective inhibition of v-src kinase over other members of the same family is described.

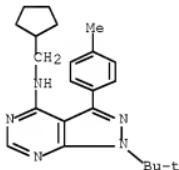
IT 211425-95-5 211426-05-0 211426-06-1  
211426-12-9 211426-13-0

RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); PROC (Process);  
USES (Uses)

(as orthogonal inhibitor of v-src kinase analog accepting orthogonal substrates; analogs of protein kinases that can utilize modified nucleotide triphosphate substrates and their uses)

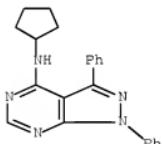
RN 211425-95-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-(4-methylphenyl)- (CA INDEX NAME)



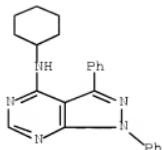
RN 211426-05-0 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-1,3-diphenyl- (CA INDEX NAME)

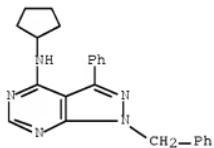


RN 211426-06-1 CAPLUS

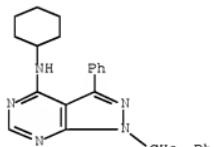
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-1,3-diphenyl- (CA INDEX NAME)



RN 211426-12-9 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-3-phenyl-1-(phenylmethyl)- (CA INDEX NAME)



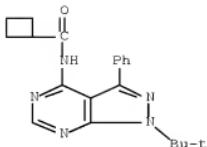
RN 211426-13-0 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclohexyl-3-phenyl-1-(phenylmethyl)- (CA INDEX NAME)



IT 206991-88-0P 206991-89-1P 206991-90-4P  
206991-95-9P

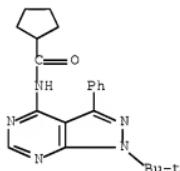
RL: BPR (Biological process); BSU (Biological study, unclassified); BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)  
(as orthogonal inhibitor of v-src kinase analog accepting orthogonal substrates; analogs of protein kinases that can utilize modified nucleotide triphosphate substrates and their uses)

RN 206991-88-0 CAPLUS  
CN Cyclobutanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



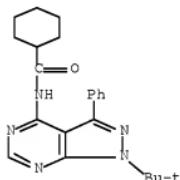
RN 206991-89-1 CAPLUS

CN Cyclopentanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



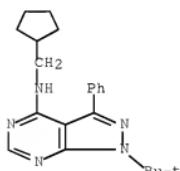
RN 206991-90-4 CAPLUS

CN Cyclohexanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



RN 206991-95-9 CAPLUS

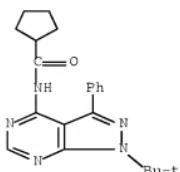
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-phenyl- (CA INDEX NAME)



L10 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN  
AN 1998:181973 CAPLUS Full-text  
DN 128:318664  
TI Design of allele-specific inhibitors to probe protein kinase signaling  
AU Bishop, Anthony C.; Shah, Kavita; Liu, Yi; Witucki, Laurie; Kung, Chi-yun;  
Shokat, Kevan M.  
CS Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA  
SO Current Biology (1998), 8(5), 257-266  
CODEN: CUBLE2; ISSN: 0960-9822  
PB Current Biology Ltd.  
DT Journal  
LA English  
AB Deconvoluting protein kinase signaling pathways using conventional genetic and biochemical approaches has been difficult because of the overwhelming number of closely related kinases. If cell-permeable inhibitors of individual kinases could be designed, the role of each kinase could be systematically assessed. The authors have devised an approach combining chemical and genetics to develop the first highly specific cell-permeable inhibitor of the oncogenic tyrosine kinase v-Src. A functionally silent active-site mutation was made in v-Src to distinguish it from all other cellular kinases. A tight-binding cell-permeable inhibitor of this mutant kinase that does not inhibit wild-type kinases was designed and synthesized. In vitro and whole-cell assays established the unique specificity of the mutant v-Src-inhibitor pair. The inhibitor reversed cell transformation by the engineered but not the 'wild type' v-Src, establishing that changes in cellular signaling can be attributed to specific inhibition of the engineered kinase. The generality of the method was tested by engineering another tyrosine kinase, Fyn, to contain the corresponding active-site mutation to the one in v-Src. The same compound that inhibited mutant v-Src could also potently inhibit the engineered Fyn kinase. Thus allele-specific cell-permeable inhibitors of individual Src family kinases can be rapidly developed in an approach that should be applicable to all kinases. This approach will be useful for the deconvolution of kinase-mediated cellular pathways and for validating novel kinases as good targets for drug discovery both in vitro and in vivo.

IT 206991-89-1F  
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)  
(design of allele-specific inhibitors to probe protein kinase signaling)

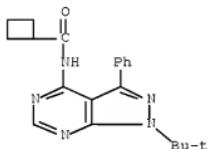
RN 206991-89-1 CAPLUS  
CN Cyclopentanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



IT 206991-88-0P 206991-90-4P 206991-95-9P  
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)  
(design of allele-specific inhibitors to probe protein kinase signaling)

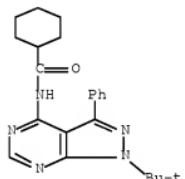
RN 206991-88-0 CAPLUS

CN Cyclobutanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



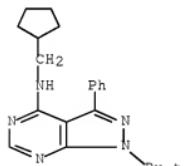
RN 206991-90-4 CAPLUS

CN Cyclohexanecarboxamide, N-[1-(1,1-dimethylethyl)-3-phenyl-1H-pyrazolo[3,4-d]pyrimidin-4-yl]- (CA INDEX NAME)



RN 206991-95-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopentylmethyl)-1-(1,1-dimethylethyl)-3-phenyl- (CA INDEX NAME)



RE.CNT 44

THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI New uses for heterocyclic corticotropin-releasing factor (CRF) antagonists in treating cardiovascular diseases, osteoporosis, ulcers, and other disorders

IN Chen, Yuhpyng Liang; Fossa, Anthony Andrea

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 15 pp.

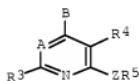
CODEN: EPXXDW

DT Patent

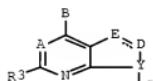
LA English

FAN.CNT 8

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 773023	A1	19970514	EP 1996-307977	19961104
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
PT 832067	T	20031031	PT 1995-918714	19950606
ES 2199991	T3	20040301	ES 1995-918714	19950606
CA 2189830	A1	19970509	CA 1996-2189830	19961107
CA 2189830	C	20010213		
PRAI US 1995-6333P	P	19951108		
EP 1995-918714	A	19950606		
OS MARPAT 127:29112				
GI				



I



II

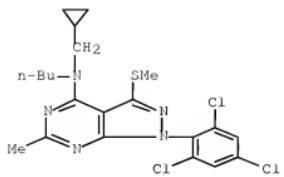
AB A method for treating, preventing, or inhibiting cardiovascular or heart related diseases (e.g. stroke, hypertension, tachycardia, congestive heart failure), osteoporosis, premature birth, psychosocial dwarfism, stress-induced fever, ulcer, diarrhea, post-operative ileus, and colonic hypersensitivity associated with psychopathol. disturbance and stress, comprises administration of a heterocyclic CRF antagonist I or II [A = N, CR7; B = NR1R2, C(S)R2, NHNR1R2, C(O)R2, etc.; D = (i) N, CR10 when double bond connects D and E and E = CR4, or (ii) CR10 when double bond connects D and E and E = N, or (iii) C(O), C(S), C(NH), etc. when a single bond connects D and E; E = CR4, N when double bond connects D and E, and E = CR4R6, NR6 when single bond connects D and E; Y = N, CH; Z = NH, O, S, etc.; R1 = H, Cl-6 alkyl, etc.; R2 = Cl-6 alkyl, aryl, etc.; R3 = H, Cl-6 alkyl, halo, OH, etc.; R4 = H, Cl-6 alkyl, halo, formyl, amino, etc.; R5 = Ph, naphthyl, thieryl, etc.; R6 = H, (substituted) Cl-6 alkyl; R7 = H, Cl-4 alkyl, halo, OH, CN, etc.; R10 = H, Cl-6 alkyl, halo, etc.].

IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)  
(heterocyclic ACTH-releasing factor antagonists for treatment of cardiovascular diseases, osteoporosis, ulcers, and other disorders)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



TI Pyrazolopyrimidines and pyrrolopyrimidines for treatment of neuronal and other disorders

IN Yuhpyng, Chen L.

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 729758	A2	19960904	EP 1996-300931	19960212
	EP 729758	A3	19971029		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
IL	117229	A	20030212	IL 1996-117229	19960222
JP	08259567	A	19961008	JP 1996-37839	19960226
CA	2170700	A1	19960903	CA 1996-2170700	19960229
CA	2170700	C	19990727		
AU	9645859	A	19960912	AU 1996-45859	19960301
AU	715380	B2	20000203		
CN	1141297	A	19970129	CN 1996-104208	19960301
CN	1065535	B	20010509		
ZA	9601696	A	19970901	ZA 1996-1696	19960301
NZ	286103	A	20000825	NZ 1996-286103	19960301
US	6051578	A	20000418	US 1998-150688	19980910

PRAI US 1995-397527

EP 1996-300931

US 1997-790346

OS MARPAT 125:257175

AB Pyrazolopyrimidines, pyrrolopyrimidines, and pharmaceutically acceptable salts thereof, are useful in the treatment or prevention of certain neuronal and other disorders such as traumas, neuronal damages, Parkinson's disease, urinary incontinence, and chemical dependences. The compds. exhibit ACTH-releasing factor receptor antagonist activity. Thirty-five compds. are claimed.

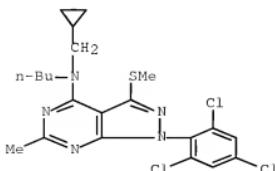
IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyrazolopyrimidines and pyrrolopyrimidines for treatment of neuronal and other disorders)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



L10 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1996:171879 CAPLUS Full-text

DN 124:220541

TI Corticotropin-releasing factor antagonists for treatment of stress-related disorders

IN Bright, Gene M.; Chen, Yuhpyng L.; Welch, Willard M., Jr.

PA Pfizer Inc., USA

SO Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 691128	A1	19960110	EP 1995-201475	19950606
	EP 691128	B1	20021211		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US	5646152	A	19970708	US 1994-259835	19940615
AT	229334	T	20021215	AT 1995-201475	19950606
PT	691128	T	20030228	PT 1995-201475	19950606
ES	2186704	T3	20030516	ES 1995-201475	19950606
CA	2151674	A1	19951216	CA 1995-2151674	19950613
CA	2151674	C	19990622		
AU	9521691	A	19951221	AU 1995-21691	19950614
AU	701963	B2	19990211		
JP	08003041	A	19960109	JP 1995-170453	19950614
HU	71602	A2	19960129	HU 1995-1738	19950614
ZA	9504921	A	19961217	ZA 1995-4921	19950614
CZ	294696	B6	20050216	CZ 1995-1537	19950614
US	6200979	B1	20010313	US 1997-796096	19970205

PRAI US 1994-259835

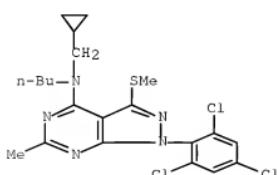
AB Substituted pyrazoles and pyrazolopyrimidines (Markush structures is given) have ACTH-releasing factor antagonist activity and are useful in the treatment of a variety of stress-related disorders (no data).

IT 174569-94-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(ACTH-releasing factor antagonists for treatment of stress-related disorders)

RN 174569-94-9 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-butyl-N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



L10 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1994:680680 CAPLUS Full-text

DN 121:280680

TI Pyrazolo[3,4-d]pyrimidines as ACTH-Releasing Factor Antagonists

IN Chen, Yuhpung Liang

PA Pfizer Inc., USA

SO PCT Int. Appl., 62 pp.

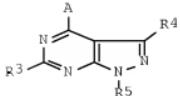
CODEN: PIXXD2

DT Patent

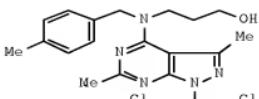
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9413677	A1	19940623	WO 1993-US11333	19931126
	W: AU, BR, CA, CZ, JP, KR, NO, NZ, PL, RU, US RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	TW 444018	B	20010701	TW 1998-87121000	19931122
	CA 2150709	A1	19940623	CA 1993-2150709	19931126
	CA 2150709	C	19990316		
	AU 9457281	A	19940704	AU 1994-57281	19931126
	AU 680226	B2	19970724		
	EP 674642	A1	19951004	EP 1994-903283	19931126
	EP 674642	B1	20000823		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	RU 2124016	C1	19981227	RU 1995-113966	19931126
	BR 9307648	A	19990525	BR 1993-7648	19931126
	PL 177028	B1	19990930	PL 1993-309359	19931126
	AT 195738	T	20000915	AT 1994-903283	19931126
	CZ 287319	B6	20001011	CZ 1995-1586	19931126
	ES 2150482	T3	20001201	ES 1994-903283	19931126
	PT 674642	T	20010131	PT 1994-903283	19931126
	IL 107944	A	20001206	IL 1993-107944	19931209
	ZA 9309405	A	19950615	ZA 1993-9405	19931215
	FI 9305675	A	19940618	FI 1993-5675	19931216
	FI 105920	B1	20001031		
	CN 1094048	A	19941026	CN 1993-120128	19931216
	CN 1034175	B	19970305		
	HU 70426	A2	19951030	HU 1993-3613	19931216
	HU 221507	B	20021028		
	NO 9502399	A	19950816	NO 1995-2399	19950616
	US 6218397	B1	20010417	US 1998-148075	19980904
	GR 3034507	T3	20001229	GR 2000-402197	20000928
PRAI	US 1992-992229	A	19921217		
	WO 1993-US11333	W	19931126		
	US 1995-481413	B1	19950615		
OS	MARPAT 121:280680				
GI					



I



II

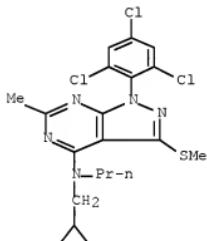
AB ACTH-releasing factor antagonists I (A = amino group, alkyl, alkylthio, etc.; R3, R4 = H, alkyl, halo, etc.; R5 = Ph, naphthyl, heteroaryl, etc.) were disclosed. I are useful in the treatment of illnesses induced or facilitated by CRF, such as inflammatory disorders, and depression and anxiety related disorders. Specifically claimed example compound is 3-[(4-methylbenzyl)[3,6-dimethyl-1-(2,4,6-trichlorophenyl)pyrazolo[4,3-d]pyrimidin-4-yl]amino]-1-propanol (II). Pharmacol. test data for I were not shown.

IT 158950-35-7P 158950-39-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of, as ACTH-releasing factor antagonist)

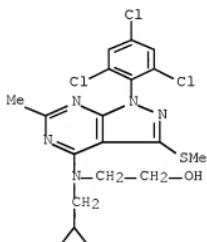
RN 158950-35-7 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-(cyclopropylmethyl)-6-methyl-3-(methylthio)-N-propyl-1-(2,4,6-trichlorophenyl)- (CA INDEX NAME)



RN 158950-39-1 CAPLUS

CN Ethanol, 2-[(cyclopropylmethyl)[6-methyl-3-(methylthio)-1-(2,4,6-trichlorophenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)



TI Preparation of 4-(amino- and aminoalkyl)cyclohexane-1-carboxamide derivatives as vasodilators, antihypertensives, and antiasthmatics  
 IN Arita, Masafumi; Saito, Tadamasu; Sato, Hiroyuki; Uehata, Masayoshi; Okuda, Hiroyumi

PA Yoshitomi Pharmaceutical Industries, Ltd., Japan

SO PCT Int. Appl., 71 pp.

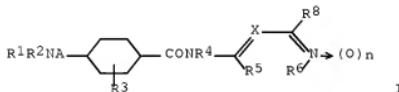
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9305021	A1	19930318	WO 1992-JP1139	19920904
W: CA, HU, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
EP 641781	A1	19950308	EP 1992-918882	19920904
EP 641781	B1	20000726		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
CA 2117096	C	19971104	CA 1992-2117096	19920904
AT 194977	T	20000815	AT 1992-918882	19920904
ES 2148179	T3	20001016	ES 1992-918882	19920904
JP 05194401	A	19930803	JP 1992-265416	19920907
JP 3275389	B2	20020415		
JP 06041080	A	19940215	JP 1993-70970	19930305
JP 3265695	B2	20020311		
KR 133372	B1	19980423	KR 1994-700738	19940305
US 5478838	A	19951226	US 1994-204211	19940307
GR 3034633	T3	20010131	GR 2000-402319	20001016
PRAI JP 1991-255689	A	19910906		
JP 1992-146175	A	19920512		
WO 1992-JP1139	W	19920904		
OS MARPAT 119:95006				
GI				



AB The title compds. (I; R1, R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, Ph, aralkyl, piperidyl, pyrrolidinyl, each optionally having substituents on the ring, etc.; R3, R4 = H, alkyl; A = single bond, alkylene; X = CR7, N; R7, R8 = H, halo, alkyl, alkoxy, aralkyl, haloalkyl, NO2, (un)substituted NH2, etc.; R5R6 = (un)substituted CH:CH, NHCH2, N:CH, CH2NH, CH:N, or NH; n = 0, 1; several provisos are given), useful for treating angina pectoris and for improving peripheral blood circulation, are prepared. Thus, amidation of 4-amino-1H-pyrazolo[3,4-b]pyridine-2HCl with (+)-trans-4-(1-benzyloxycarboxamidoethyl)cyclohexanecarbonyl chloride (preparation given) in the presence of (Me2CH)2NET in 1,3-dimethyl-2-imidazolidinone followed by hydrogenolysis over 10% Pd-C in 15% HCl in MeOH at 5 atm H2 gave (+)-trans-N-(1H-pyrazolo[3,4-b]pyridin-4-yl)-4-(1-aminoethyl)cyclohexanecarboxamide-2HCl

(II). II at 0.3 mg/kg i.p reduced the blood pressure of spontaneously hypertensive rats by 96 mmHg. A total of 41 I were prepared and 4 I were also tested for blood vessel relaxant activity in a rabbit's thoracic aorta, for increasing blood flow in dog's coronary artery, and for inhibiting histamine-induced guinea pig asthma and acetylcholine-induced contraction of an extirpated guinea pig trachea.

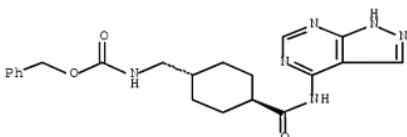
IT 149004-91-1P 149004-92-2P 149004-93-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prep of, as intermediate for vasodilator, antihypertensive, and antiasthmatic cyclohexanecarboxamide derivative)

RN 149004-91-1 CAPLUS

CN Carbamic acid, [1-[4-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)carbonyl]cyclohexyl]methyl]-, phenylmethyl ester, trans- (9CI)  
(CA INDEX NAME)

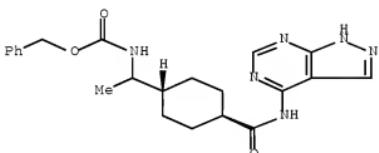
Relative stereochemistry.



RN 149004-92-2 CAPLUS

CN Carbamic acid, [1-[4-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)carbonyl]cyclohexyl]ethyl]-, phenylmethyl ester, trans-(-) - (9CI)  
(CA INDEX NAME)

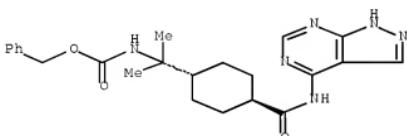
Rotation (+). Absolute stereochemistry unknown.



RN 149004-93-3 CAPLUS

CN Carbamic acid, [1-methyl-1-[4-[(1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)carbonyl]cyclohexyl]ethyl]-, phenylmethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



TI Preparation of 4-(disubstituted amino)pyrazolo[3,4-d]pyrimidines as bronchodilators and antiallergy agents

IN Friebel, Walter Gunar; Kampe, Wolfgang; Wilhelms, Otto Henning  
PA Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.

SO Eur. Pat. Appl., 15 pp.

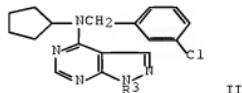
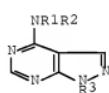
CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 287907	A1	19881026	EP 1988-105595	19880408
	EP 287907	B1	19920819		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DE	3712735	A1	19881110	DE 1987-3712735	19870415
AT	79623	T	19920915	AT 1988-105595	19880408
ES	2052629	T3	19940716	ES 1988-105595	19880408
IL	86013	A	19920216	IL 1988-86013	19880410
DK	8801968	A	19881016	DK 1988-1968	19880411
AU	8814506	A	19881020	AU 1988-14506	19880412
AU	613907	B2	19910815		
JP	63258880	A	19881026	JP 1988-88361	19880412
DD	274030	A5	19891206	DD 1988-314699	19880413
FI	8801760	A	19881016	FI 1988-1760	19880414
FI	88163	B	19921231		
FI	88163	C	19930413		
ZA	8802618	A	19881228	ZA 1988-2618	19880414
HU	47578	A2	19890328	HU 1988-1923	19880414
HU	198493	B	19891030		
US	4904666	A	19900227	US 1988-181729	19880414
SU	1701111	A3	19911223	SU 1988-4355573	19880414
CN	88102247	A	19881102	CN 1988-102247	19880415
CA	1308713	C	19921013	CA 1988-564268	19880415
PRAI	DE 1987-3712735	A	19870415		
	EP 1988-105595	A	19880408		
OS	CASREACT 110:114859; MARPAT 110:114859				
GI					



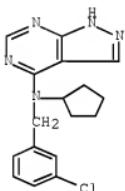
AB The title compds. [I; R1 = alkyl, alkenyl, cycloalkyl, aryl; R2 = alkenyl, cycloalkyl, (un)substituted aralkyl, heteroarylalkyl; R3 = H, alkyl, hydroxylalkyl, tetrahydrofuranyl, tetrahydropyranyl] were prepared as bronchodilators and antiallergy agents (no data). 4-Chloro-1H-pyrazolo[3,4-d]pyrimidine was refluxed 16 h with N-(3-chlorobenzyl)cyclopentylamine in BuOH to give title compound II (R3 = H) which was stirred 1 h at 80° with NaH in DMF after which 4-(4-toluenesulfonyloxy)methyl)-2,2-dimethyl-1,3-dioxolane was added followed by an addnl. 3 h stirring at 80° to give II [R3 = CH2CH(OH)CH2OH].

IT 119287-23-9P 119287-26-2P 119287-27-3P  
 119287-28-4P 119287-29-5P 119287-30-8P  
 119287-31-9P 119287-32-0P 119287-33-1P  
 119287-34-2P 119287-35-3P 119287-36-4P

119287-37-5P	119287-38-6P	119287-44-4P
119287-45-5P	119287-48-6P	119287-49-5P
119287-50-2P	119287-51-3P	119287-52-4P
119287-53-5P	119287-54-6P	119287-57-9P
119287-59-1P	119287-60-4P	119287-63-7P
119287-64-5P	119287-65-9P	119287-66-0P
119318-67-1P	119318-34-2P	119318-35-3P

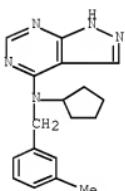
RL: SPN (Synthetic preparation); PREP (Preparation (preparation of, as bronchodilator and antiallergy agent))

RN 119287-23-9 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3-chlorophenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



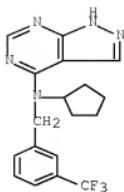
BN 119287-26-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3-methylphenyl)methyl] - (CA INDEX NAME)

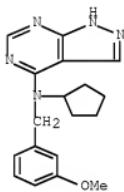


RN 119287-27-3 CAPLUS

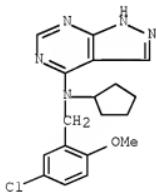
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3-(trifluoromethyl)phenyl)methyl]- (CA INDEX NAME)



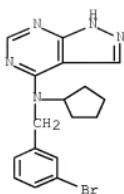
RN 119287-28-4 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-(3-methoxyphenyl)methyl- (CA INDEX NAME)



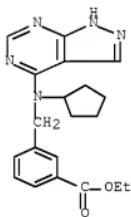
RN 119287-29-5 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(5-chloro-2-methoxyphenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



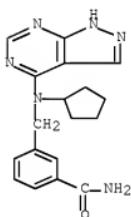
RN 119287-30-8 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3-bromophenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



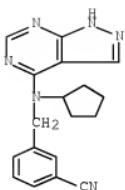
RN 119287-31-9 CAPLUS  
CN Benzoic acid, 3-[(cyclopentyl-1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]-, ethyl ester (CA INDEX NAME)



RN 119287-32-0 CAPLUS  
CN Benzamide, 3-[(cyclopentyl-1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]- (CA INDEX NAME)

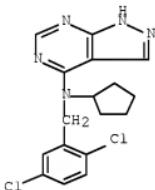


RN 119287-33-1 CAPLUS  
CN Benzonitrile, 3-[(cyclopentyl-1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]- (CA INDEX NAME)



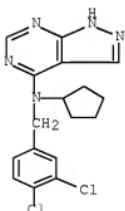
RN 119287-34-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(2,5-dichlorophenyl)methyl]- (CA INDEX NAME)



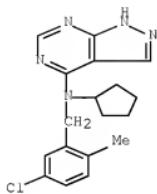
RN 119287-35-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3,4-dichlorophenyl)methyl]- (CA INDEX NAME)



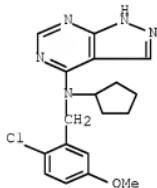
RN 119287-36-4 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(5-chloro-2-methylphenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



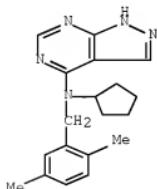
RN 119287-37-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(2-chloro-5-methoxyphenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



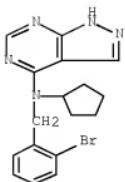
RN 119287-38-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(2,5-dimethylphenyl)methyl]- (CA INDEX NAME)

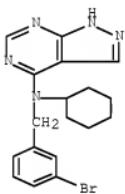


RN 119287-44-4 CAPLUS

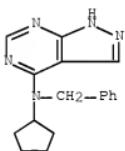
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(2-bromophenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



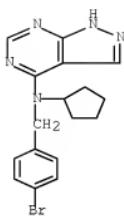
RN 119287-45-5 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3-bromophenyl)methyl]-N-cyclohexyl- (CA INDEX NAME)



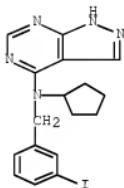
RN 119287-48-8 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-(phenylmethyl)- (CA INDEX NAME)



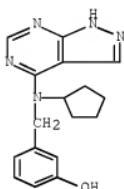
RN 119287-49-9 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(4-bromophenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



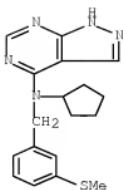
RN 119287-50-2 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3-  
iodophenyl)methyl]- (CA INDEX NAME)



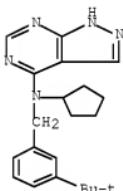
RN 119287-51-3 CAPLUS  
CN Phenol, 3-[(cyclopentyl-1H-pyrazolo[3,4-d]pyrimidin-4-ylamino)methyl]-  
(CA INDEX NAME)



RN 119287-52-4 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3-  
(methylthio)phenyl)methyl]- (CA INDEX NAME)

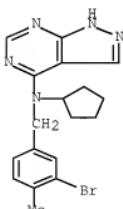


RN 119287-53-5 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3-(1,1-dimethylethyl)phenyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)



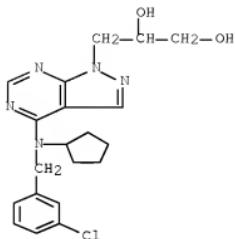
● x HCl

RN 119287-54-6 CAPLUS  
CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3-bromo-4-methylphenyl)methyl]-N-cyclopentyl- (CA INDEX NAME)



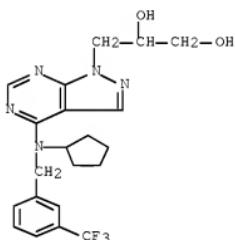
RN 119287-57-9 CAPLUS  
CN 1,2-Propanediol, 3-[4-[(3-chlorophenyl)methyl]cyclopentylamino]-1H-

pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



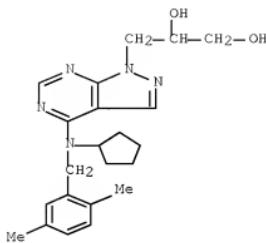
RN 119287-59-1 CAPLUS

CN 1,2-Propanediol, 3-[4-[cyclopentyl[(3-(trifluoromethyl)phenyl)methyl]amino]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



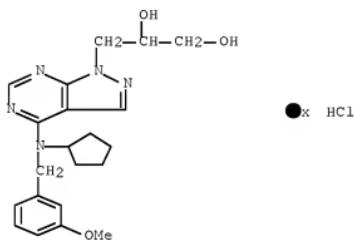
RN 119287-60-4 CAPLUS

CN 1,2-Propanediol, 3-[4-[cyclopentyl[(2,5-dimethylphenyl)methyl]amino]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



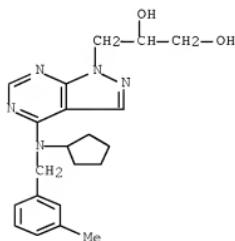
RN 119287-63-7 CAPLUS

CN 1,2-Propanediol, 3-[4-[(cyclopentyl[(3-methoxyphenyl)methyl]amino)-1H-pyrazolo[3,4-d]pyrimidin-1-yl]-, hydrochloride (9CI) (CA INDEX NAME)



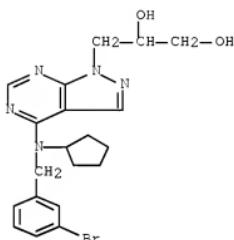
RN 119287-64-8 CAPLUS

CN 1,2-Propanediol, 3-[4-[(cyclopentyl[(3-methylphenyl)methyl]amino)-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



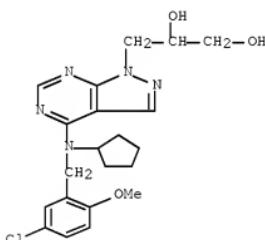
RN 119287-65-9 CAPLUS

CN 1,2-Propanediol, 3-[4-[(3-bromophenyl)methyl]cyclopentylamino]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



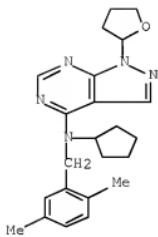
RN 119287-66-0 CAPLUS

CN 1,2-Propanediol, 3-[4-[(5-chloro-2-methoxyphenyl)methyl]cyclopentylamino]-1H-pyrazolo[3,4-d]pyrimidin-1-yl]- (CA INDEX NAME)



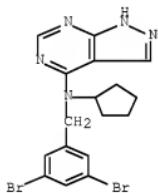
RN 119287-67-1 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(2,5-dimethylphenyl)methyl]-1-(tetrahydro-2-furanyl)- (CA INDEX NAME)



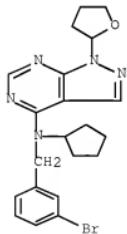
RN 119318-34-2 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-cyclopentyl-N-[(3,5-dibromophenyl)methyl]- (CA INDEX NAME)

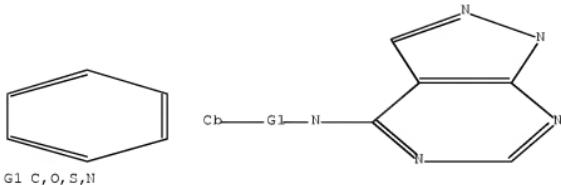


RN 119318-35-3 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine, N-[(3-bromophenyl)methyl]-N-cyclopentyl-1-(tetrahydro-2-furanyl)- (CA INDEX NAME)

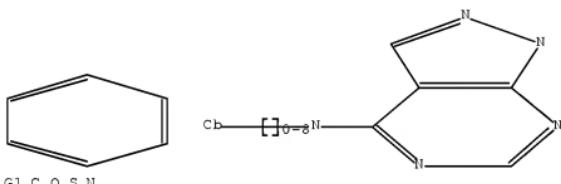


=> d 12; d 16; d his; log y  
L2 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.  
L2 QUE ABB=ON PLU=ON L1

L6 HAS NO ANSWERS  
L5 STR



Structure attributes must be viewed using STN Express query preparation.  
L6 QUE ABB=ON PLU=ON L5

(FILE 'REGISTRY' ENTERED AT 09:27:42 ON 07 DEC 2007)

DEL HIS Y  
L1 STRUCTURE uploaded  
L2 QUE L1  
L3 0 S L2  
L4 20 S L2 FUL  
L5 STRUCTURE uploaded  
L6 QUE L5  
L7 26 S L6  
L8 432 S L6 FUL  
L9 432 S L4 OR L8

FILE 'CAPLUS' ENTERED AT 09:32:26 ON 07 DEC 2007  
L10 34 S L9

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	180.59	527.70
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-26.52	-26.52

STN INTERNATIONAL LOGOFF AT 09:34:19 ON 07 DEC 2007